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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 01	New pricing for the Save Answers for SciFinder Wizard within STN Express with Discover!
NEWS	4	OCT 28	KOREAPAT now available on STN
NEWS	5	NOV 30	PHAR reloaded with additional data
NEWS	6	DEC 01	LISA now available on STN
NEWS	7	DEC 09	12 databases to be removed from STN on December 31, 2004
NEWS	8	DEC 15	MEDLINE update schedule for December 2004
NEWS	9	DEC 17	ELCOM reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	10	DEC 17	COMPUAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	11	DEC 17	SOLIDSTATE reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	12	DEC 17	CERAB reloaded; updating to resume; current-awareness alerts (SDIs) affected
NEWS	13	DEC 17	THREE NEW FIELDS ADDED TO IFIPAT/IFIUDB/IFICDB

NEWS EXPRESS	OCTOBER 29 CURRENT WINDOWS VERSION IS V7.01A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

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* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 08:18:59 ON 23 DEC 2004

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 08:19:13 ON 23 DEC 2004

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 21 DEC 2004 HIGHEST RN 800413-66-5
DICTIONARY FILE UPDATES: 21 DEC 2004 HIGHEST RN 800413-66-5

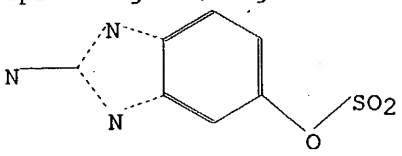
TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

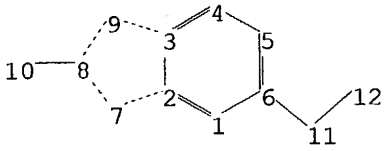
Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10808889.str



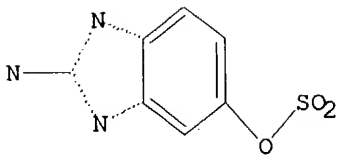


chain nodes :
10 11 12
ring nodes :
1 2 3 4 5 6 7 8 9
chain bonds :
6-11 8-10 11-12
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9
exact/norm bonds :
2-7 3-9 6-11 7-8 8-9 8-10 11-12
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:CLASS 12:CLASS

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

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=> s l1
SAMPLE SEARCH INITIATED 08:19:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     23 TO ITERATE

100.0% PROCESSED      23 ITERATIONS                                  9 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          173 TO      747
PROJECTED ANSWERS:               9 TO      360

L2            9 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 08:19:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    303 TO ITERATE

100.0% PROCESSED     303 ITERATIONS                                 87 ANSWERS
SEARCH TIME: 00.00.01

L3           87 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   155.42     155.63

FILE 'CAPLUS' ENTERED AT 08:19:39 ON 23 DEC 2004
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COPYRIGHT (C) 2004 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 23 Dec 2004  VOL 141 ISS 26
FILE LAST UPDATED: 22 Dec 2004  (20041222/ED)
```

This file contains CAS Registry Numbers for easy and accurate substance identification.

```
=> s l3
L4            53 L3

=> d ibib abs hitstr tot
THE ESTIMATED COST FOR THIS REQUEST IS 252.28 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y
```

L4 ANSWER 1 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

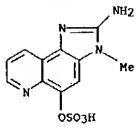
ACCESSION NUMBER: 2004:128035 CAPLUS
DOCUMENT NUMBER: 140:356119
TITLE: Mechanisms by which resistant starches and non-starch polysaccharide sources affect the metabolism and disposition of the food carcinogen, 2-amino-3-methylimidazo[4,5-f]quinoline
AUTHOR(S): Kestell, P.; Zhu, S.; Ferguson, L. R.
CORPORATE SOURCE: Auckland Cancer Society Research Centre, Faculty of Medicine and Health Science, University of Auckland, Auckland, 92019, N. Z.
SOURCE: Journal of Chromatography, B: Analytical Technologies in the Biomedical and Life Sciences (2004), 802(1), 201-210
CODEN: JCBAAI; ISSN: 1570-0232
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Although both non-starch polysaccharides (NSP) and resistant starches (RS) are included in current definitions of dietary fiber, the authors' previous work has suggested fundamental differences in the way in which these two classes of material affect the disposition and absorption of a dietary carcinogen. The present studies explore whether different effects on carcinogen metabolism could play a role in the contrasting patterns seen previously. Groups of female Wistar rats were pre-fed for 4 wk one of five types of defined diet (AIN-76). The control diet contained 35% maize starch and no dietary fiber. The RS-containing diets had all the maize starch substituted with either Hi-maize or potato starch. In the NSP-containing diets, 10% of the maize starch was substituted with dietary fiber in the form of either lignified plant cell walls (wheat straw) or soluble dietary fiber (apple pectin). Pre-fed rats were gavaged with the food carcinogen, [2-14C]-2-amino-3-methylimidazo[4,5-f]quinoline (IQ), and plasma and urinary metabolites characterized using HPLC at various time intervals after administration. After 4 h gavage, plasma from rats on both RS-containing diets contained significantly higher levels of intact IQ and lower levels of the major metabolites, IQ-5-O-glucuronide and IQ-5-sulfate, as compared with plasma from the neg. control group at this time. In contrast, plasma from animals on the NSP-containing wheat straw diet (and to a lesser extent the apple pectin diet) showed significantly lower levels of intact IQ, and significantly higher levels of the two major metabolites, as compared with those from the control rats. These different metabolite profiles were also reflected in different urinary excretion profiles. Urine from rats pre-fed RS-containing diets revealed significantly slower metabolite excretion as compared with urine from rats that had been given the NSP-containing diets. Western blotting methodologies also profiled differences between the effects of these two types of dietary fiber in the expression of xenobiotic-metabolizing enzymes. Apparently, changes in activity and expression of xenobiotic-metabolizing enzymes could play a role in the contrasting effects of these two types of dietary fiber on carcinogen uptake and disposition.

IT **122719-40-8**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(dietary fiber effect on IQ metabolism)

RN 122719-40-8 CAPLUS
CN *3H-Imidazo[4,5-f]quinolin-5-ol, 2-amino-3-methyl-, hydrogen sulfate (ester)* (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2004:60255 CAPLUS
DOCUMENT NUMBER: 140:105258
TITLE: Benzimidazole compound-pentamidine compound combinations for the treatment of neoplasms
INVENTOR(S): Borisy, Alexis; Keith, Curtis; Foley, Michael A.; Stockwell, Brent R.; Gaw, Debra A.
PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004006849	A2	20040122	WO 2003-US21984	20030715
WO 2004006849	A3	20040603		

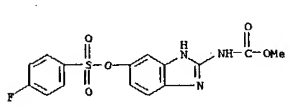
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-396151P P 20020715
OTHER SOURCE(S): MARPAT 140:105258

AB The invention features a method for treating a patient having a cancer or other neoplasm, by administering to the patient (i) a benzimidazole or a metabolite or analog thereof; and (ii) pentamidine or a metabolite or analog thereof simultaneously or within 14 days of each other in amts. sufficient to inhibit the growth of the neoplasm.

IT **90509-02-7**, Luxabendazole
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(benzimidazole compound-pentamidine compound combinations for the treatment of neoplasms)

RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

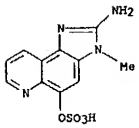
ACCESSION NUMBER: 2003:498539 CAPLUS
DOCUMENT NUMBER: 140:1779
TITLE: The disposition and metabolism of 2-amino-3-methylimidazo-[4,5-f]quinoline in the F344 rat at high versus low doses of indole-3-carbinol
AUTHOR(S): Dashwood, R. H.; Xu, M.
CORPORATE SOURCE: Department of Environmental Molecular Toxicology, Oregon State University, Corvallis, OR, 97331-6512, USA
SOURCE: Food and Chemical Toxicology (2003), 41(8), 1185-1192
CODEN: FCTOD7; ISSN: 0278-6915
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Indole-3-carbinol (I3C), a compound found in cruciferous vegetables, inhibits the formation of DNA adducts, colonic aberrant crypts, and tumors in rats given heterocyclic amines, such as 2-amino-3-methylimidazo[4,5-f]quinoline (IQ). Previous mechanism studies indicated that I3C induces cytochromes P 4501A1 (CYP1A1) and CYP1A2, as well as phase 2 pathways, leading to enhanced metabolism and excretion of IQ. However, the chemopreventive activity is dependent on the dose of I3C, and at low doses which do not induce CYP1A activity, there is evidence for increased IQ-DNA adduct formation in vivo. The present study examined the fate of IQ in the rat and the profile of urinary metabolites across a broad range of I3C doses. Male F344 rats were given a single injection of I3C by oral gavage, at a dose equivalent to that received from a single daily exposure to 0, 5, 10, 25, 50, 100, 200, 500 or 1000 ppm I3C in the diet, or they were given the 1000-ppm-equivalent dose of I3C for 14 consecutive days. Subsequently, each rat was given 14C-labeled IQ (5 mg/kg; 0.1 mCi/kg) and the animal was sacrificed 8 h later. With increasing I3C, there was a dose-dependent decrease in IQ-associated radiolabel in several systemic tissues, and an increase in the radiolabel eliminated via the feces. In the urine, there was a dose-dependent increase in IQ-5-O-glucuronide and IQ-5-O-sulfate metabolites, and a concomitant decrease in the IQ-sulfamate at intermediate and high doses of I3C. However, 5- and 10 ppm-equivalent doses of I3C enhanced the levels of IQ-sulfamate compared with controls, possibly due to the high ratio of hepatic CYP1A2 vs. CYP1A1 activities at these I3C doses. The possible significance of the low vs. high dose effects are discussed in the context of ongoing clin. trials with I3C and the reported chemopreventive mechanisms in vivo.

IT **122719-40-8**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(disposition and metabolism of amino-methylimidazoquinoline in F344 rat at high vs. low doses of indole-carbinol)

RN 122719-40-8 CAPLUS
CN 3H-Imidazo[4,5-f]quinolin-5-ol, 2-amino-3-methyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)

L4 ANSWER 3 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 63 THERE ARE 63 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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L4 ANSWER 4 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:259734 CAPLUS
DOCUMENT NUMBER: 138:271683
TITLE: Preparation of 2-(acylamino)-5-(benzenesulfonyloxy)benzimidazole compounds and their use for the treatment of cancer
INVENTOR(S): Clerc, Francois; Hamy, Francois; Depaty, Isabelle; Angouillant-Boniface, Odile; Roesner, Manfred
PATENT ASSIGNEE(S): Aventis Pharma S.A., Fr.
SOURCE: Eur. Pat. Appl., 31 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1298125	A1	20030402	EP 2001-402460	20010926
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
WO 2003028721	A2	20030410	WO 2002-EP11353	20020926
WO 2003028721	A3	20031211		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1432417	A2	20040630	EP 2002-772370	20020926
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012856	A	20040914	BR 2002-12856	20020926
PRIORITY APPLN. INFO.:			EP 2001-402460 A	20010926
			WO 2002-EP11353 W	20020926

OTHER SOURCE(S): MARPAT 138:271683
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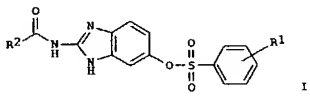


I

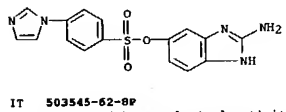
AB New benzimidazole compds. of formula (I) [wherein R1 = 4-NH2, 4-alkylamino or cycloalkylamino eventually substituted with an acyl or its derivative, hydroxy, amino, alkoxy, heterocyclyl, or aryl group; R2 = (1) alkyl eventually substituted by amino, acid, acid derivative, alkoxy, aryl or OH groups, (2) arylalkyl eventually substituted by alkoxy, halogeno, amino, acid or acid derivs., (3) alkoxy eventually substituted by aryl, (4)

L4 ANSWER 4 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

amino, NHR3, or NR3R4 (wherein R3, R4 = H, alkyl, alkylaryl, aryl or together form an alkylene chain)] or pharmaceutically acceptable salts thereof, which are useful for treating cancer diseases, are prepd. These compds. I are inhibitors of cyclin-dependent kinases (CKDs, in particular CDK4) which are regulators for progression of the cell cycle at cell cycle checkpoints, and are effective in inhibiting the proliferation of neoplastic cells. Thus, 15.6 g 2-amino-5-(4-fluorophenylsulfonyloxy)nitrobenzene were combined with 25 mL ethanolamine in 100 mL ethylene glycol in a round bottom flask and heated to reflux for 90 min to give, after workup, 15.5 g 2-amino-5-[4-(2-hydroxyethyl)aminophenylsulfonyloxy]nitrobenzene (II). II (15.5 g) in 75 mL MeOH and 75 mL DMF were hydrogenated under atm. pressure with a catalytic amt. of Raney Nickel, filtered to remove the catalyst followed by washing the catalyst with MeOH. The filtrate and the washing were combined, concd. under reduced pressure, taken up in 150 mL MeOH and 30 mL glacial acetic acid, treated with 10.3 g 1,3-bis(methoxycarbonyl)-2-methyl-2-thiopseudourea, and heated to reflux with stirring for 3 h to give, after crystn. from methanol, 7.4 g Me 5-[4-(2-hydroxyethyl)aminophenylsulfonyloxy]benzimidazole-2-carbamate (III). III and Me 5-(4-aminophenylsulfonyloxy)benzimidazole-2-carbamate showed IC50 of 1.43 and 0.28 μM, resp., against CDK4/CyclionD1 kinase.

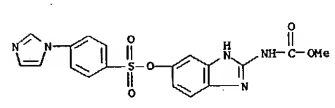
IT **503545-79-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(intermediate; preparation of 2-(acylamino)-5-(benzenesulfonyloxy)benzimidazole compds. as inhibitors of cyclin-dependent kinases for treatment of cancer)

RN 503545-79-7 CAPLUS
CN Benzenesulfonic acid, 4-(1H-imidazol-1-yl)-, 2-amino-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



IT **503545-62-8P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 2-(acylamino)-5-(benzenesulfonyloxy)benzimidazole compds. as inhibitors of cyclin-dependent kinases for treatment of cancer)

RN 503545-62-8 CAPLUS
CN Benzenesulfonic acid, 4-(1H-imidazol-1-yl)-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

IT **503545-56-0P 503545-58-2P 503545-60-6P**
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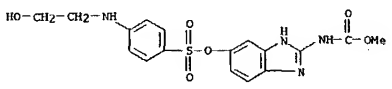
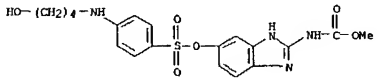
503545-72-0P 503545-73-1P 503545-74-2P
503545-75-3P 503545-76-4P 503545-78-6P
503545-80-0P, N-[5-[4-(1-Imidazolyl)phenylsulfonyloxy]-1H-benzimidazole-2-yl]succinamic acid methyl ester **503545-81-1P**
503545-83-3P, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazole-2-yl]succinamic acid methyl ester **503545-84-4P**, 4-[N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazole-2-yl]carbamoyl]butanoic acid methyl ester **503545-85-5P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazole-2-yl]cyclopropanecarboxamide **503545-86-6P 503545-87-7P**
503545-88-8P, N-[5-[4-(Imidazolyl)phenylsulfonyloxy]-1H-benzimidazol-2-yl]-N'-methylurea **503545-89-9P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazol-2-yl]-N'-methylurea **503545-90-2P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazol-2-yl]-N',N'-dimethylurea **503545-91-3P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazol-2-yl]-N'-cyclopropylurea **503545-92-4P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazol-2-yl]-N'-isopropylurea **503545-93-5P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazol-2-yl]-N'-butylurea **503545-94-6P**, N-[5-[4-(Imidazolyl)phenylsulfonyloxy]-1H-benzimidazol-2-yl]-N'-(2-fluorophenyl)urea **503545-95-7P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazol-2-yl]-N'-(2-fluorophenyl)urea **503545-96-8P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazole-2-yl]-N'-(3-methoxyphenyl)urea **503545-97-9P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazol-2-yl]-N'-(4-methoxyphenyl)urea **503545-98-0P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazol-2-yl]-N'-(4-chlorophenyl)urea **503545-99-1P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazole-2-yl]-N'-(3-fluorophenyl)urea **503546-00-7P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazol-2-yl]-N'-(3-chlorophenyl)urea **503546-01-8P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazol-2-yl]-N'-isobutylurea **503546-02-9P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazole-2-yl]-N'-(2-dimethylaminoethyl)urea **503546-03-0P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazole-2-yl]-N'-ethylurea **503546-04-1P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazole-2-yl]-N'-(carboxymethyl)urea **503546-05-2P**, N-[5-[4-(Imidazolyl)phenylsulfonyloxy]-1H-benzimidazole-2-yl]-N'-(2-sulfoethyl)urea **503546-06-3P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazole-2-yl]-N'-(2-methoxyethyl)urea **503546-07-4P 503546-08-5P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazole-2-yl]-N'-(2-pyridylmethyl)urea **503546-09-6P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazole-2-yl]-N'-cyclobutylurea **503546-10-9P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazole-2-yl]-N'-(4-pyridylmethyl)urea **503546-11-0P**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazole-2-yl]-N'-tert-butylurea
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-(acylamino)-5-(benzenesulfonyloxy)benzimidazole compds. as

inhibitors of cyclin-dependent kinases for treatment of cancer)

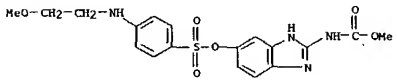
RN 503545-56-0 CAPLUS
CN Benzenesulfonic acid, 4-[(2-hydroxyethyl)amino]-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



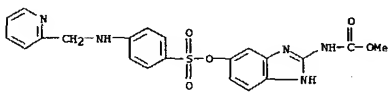
RN 503545-58-2 CAPLUS
CN Benzenesulfonic acid, 4-[(4-hydroxybutyl)amino]-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



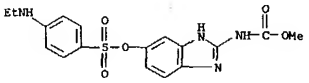
RN 503545-60-6 CAPLUS
CN Benzenesulfonic acid, 4-[(2-methoxyethyl)amino]-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



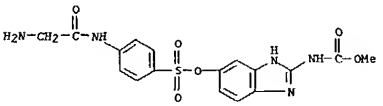
RN 503545-63-9 CAPLUS
CN Benzenesulfonic acid, 4-[(2-pyridinylmethyl)amino]-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



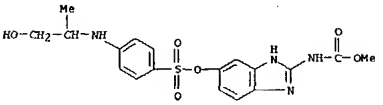
RN 503545-64-0 CAPLUS
CN Benzenesulfonic acid, 4-(ethylamino)-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



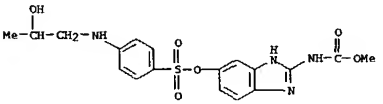
RN 503545-65-1 CAPLUS
CN Benzenesulfonic acid, 4-[(aminoacetyl)amino]-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



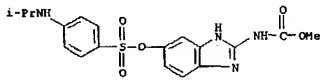
RN 503545-66-2 CAPLUS
CN Benzenesulfonic acid, 4-[(2-hydroxy-1-methylethyl)amino]-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



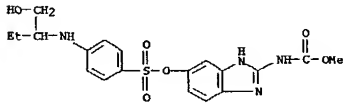
RN 503545-67-3 CAPLUS
CN Benzenesulfonic acid, 4-[(2-hydroxypropyl)amino]-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



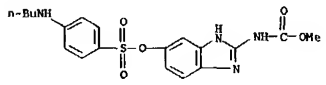
RN 503545-68-4 CAPLUS
CN Benzenesulfonic acid, 4-[(1-methylethyl)amino]-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



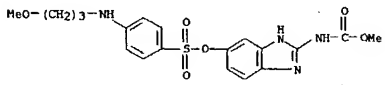
RN 503545-69-5 CAPLUS
CN Benzenesulfonic acid, 4-[[1-(hydroxymethyl)propyl]amino]-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



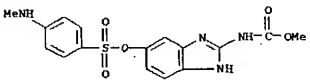
RN 503545-70-8 CAPLUS
CN Benzenesulfonic acid, 4-(butylamino)-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



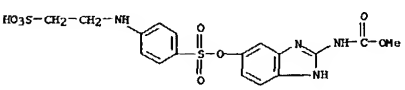
RN 503545-71-9 CAPLUS
CN Benzenesulfonic acid, 4-[(3-methoxypropyl)amino]-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



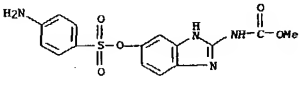
RN 503545-72-0 CAPLUS
CN Benzenesulfonic acid, 4-(methylamino)-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



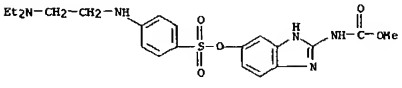
RN 503545-73-1 CAPLUS
CN Benzenesulfonic acid, 4-[(2-sulfoethyl)amino]-, 1-[2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl] ester (9CI) (CA INDEX NAME)



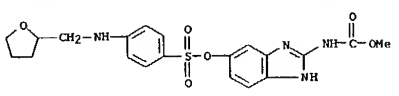
RN 503545-74-2 CAPLUS
CN Benzenesulfonic acid, 4-amino-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



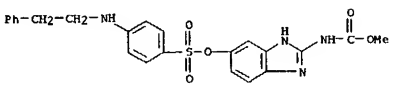
RN 503545-75-3 CAPLUS
CN Benzenesulfonic acid, 4-[[2-(diethylamino)ethyl]amino]-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



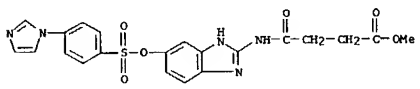
RN 503545-76-4 CAPLUS
CN Benzenesulfonic acid, 4-[[(tetrahydro-2-furanyl)methyl]amino]-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



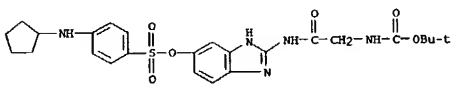
RN 503545-78-6 CAPLUS
CN Benzenesulfonic acid, 4-[(2-phenylethyl)amino]-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



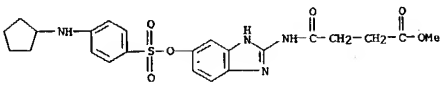
RN 503545-80-0 CAPLUS
CN Butanoic acid, 4-[[5-[[[4-(1H-imidazol-1-yl)phenyl]sulfonyl]oxy]-1H-benzimidazol-2-yl]amino]-4-oxo-, methyl ester (9CI) (CA INDEX NAME)



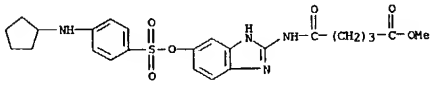
RN 503545-81-1 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[[[(1,1-dimethylethoxy)carbonyl]amino]acetyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



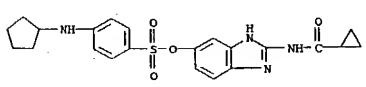
RN 503545-83-3 CAPLUS
CN Butanoic acid, 4-[[5-[[[4-(cyclopentylamino)phenyl]sulfonyl]oxy]-1H-benzimidazol-2-yl]amino]-4-oxo-, methyl ester (9CI) (CA INDEX NAME)



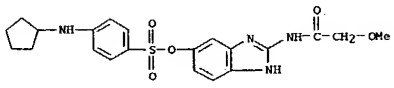
RN 503545-84-4 CAPLUS
CN Pentanoic acid, 5-[[5-[[[4-(cyclopentylamino)phenyl]sulfonyl]oxy]-1H-benzimidazol-2-yl]amino]-5-oxo-, methyl ester (9CI) (CA INDEX NAME)



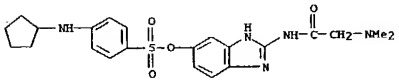
RN 503545-85-5 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[(cyclopropylcarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



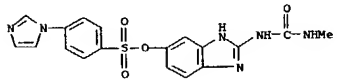
RN 503545-86-6 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[(methoxyacetyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



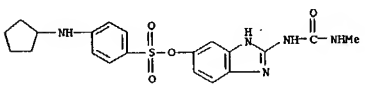
RN 503545-87-7 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[(dimethylamino)acetyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



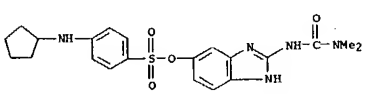
RN 503545-88-8 CAPLUS
CN Benzenesulfonic acid, 4-(1H-imidazol-1-yl)-, 2-[[(methylamino)carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



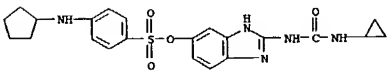
RN 503545-89-9 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[(methylamino)carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



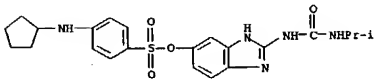
RN 503545-90-2 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[(dimethylamino)carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



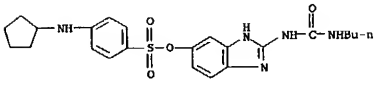
RN 503545-91-3 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[(cyclopropylamino)carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



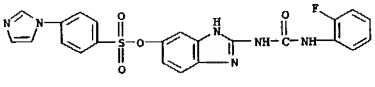
RN 503545-92-4 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[[(1-methylethyl)amino]carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



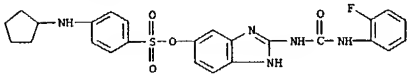
RN 503545-93-5 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[(butylamino)carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



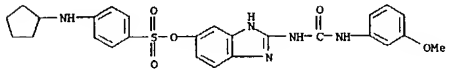
RN 503545-94-6 CAPLUS
CN Benzenesulfonic acid, 4-(1H-imidazol-1-yl)-, 2-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



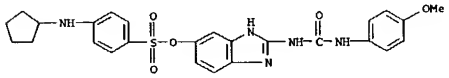
RN 503545-95-7 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[[(2-fluorophenyl)amino]carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



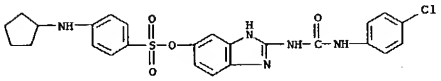
RN 503545-96-8 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[[[(3-methoxyphenyl)amino]carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



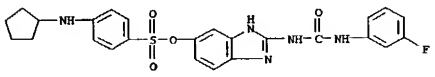
RN 503545-97-9 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[[[(4-methoxyphenyl)amino]carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

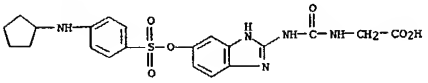


RN 503545-98-0 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[[[(4-chlorophenyl)amino]carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

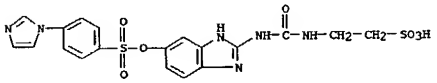


RN 503545-99-1 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[[[(3-fluorophenyl)amino]carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

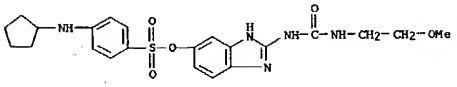




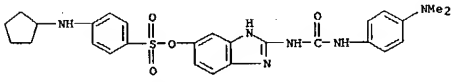
RN 503546-05-2 CAPLUS
CN Benzenesulfonic acid, 4-(1H-imidazol-1-yl)-, 2-[[[[(2-sulfoethyl)amino]carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



RN 503546-06-3 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[[[(2-methoxyethyl)amino]carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

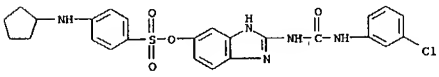


RN 503546-07-4 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[[[4-(dimethylamino)phenyl]amino]carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

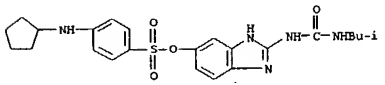


RN 503546-08-5 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[[[(2-pyridinylmethyl)amino]carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

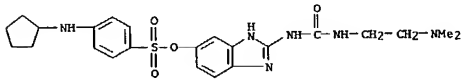
RN 503546-00-7 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[[[(3-chlorophenyl)amino]carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



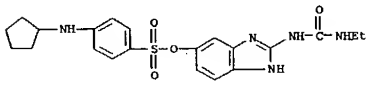
RN 503546-01-8 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[[[(2-methylpropyl)amino]carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



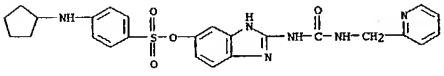
RN 503546-02-9 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[[[2-(dimethylamino)ethyl]amino]carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



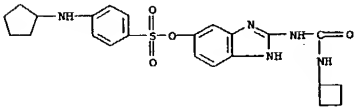
RN 503546-03-0 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[(ethylamino)carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



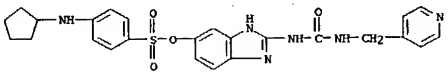
RN 503546-04-1 CAPLUS
CN Glycine, N-[[[5-[[[4-(cyclopentylamino)phenyl]sulfonyl]oxy]-1H-benzimidazol-2-yl]amino]carbonyl]- (9CI) (CA INDEX NAME)



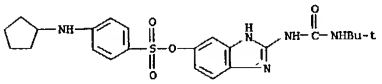
RN 503546-09-6 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[(cyclobutylamino)carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



RN 503546-10-9 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[[[(4-pyridinylmethyl)amino]carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



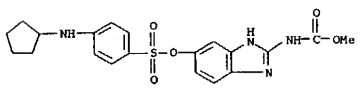
RN 503546-11-0 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[[[(1,1-dimethylethyl)amino]carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



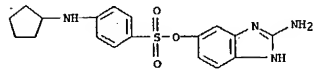
IT 503545-77-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(reactant; preparation of 2-(acylamino)-5-(benzenesulfonyloxy)benzimidazole compds. as inhibitors of cyclin-dependent kinases for treatment of cancer)

RN 503545-77-5 CAPLUS

L4 ANSWER 4 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

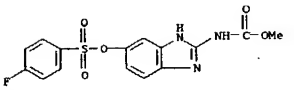


IT **503545-82-2**, N-[5-(4-Cyclopentylaminophenylsulfonyloxy)-1H-benzimidazole-2-yl]amine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of 2-(acylamino)-5-(benzenesulfonyloxy)benzimidazole compds. as inhibitors of cyclin-dependent kinases for treatment of cancer)
RN 503545-82-2 CAPLUS
CN Benzenesulfonic acid, 4-(cyclopentylamino)-, 2-amino-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

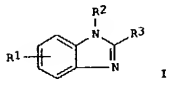


REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:754210 CAPLUS
DOCUMENT NUMBER: 137:273177
TITLE: Method for treatment of cancer and compositions for use therein
INVENTOR(S): Morris, David Lawrence; Pourgholami, Mohammad Hossein
PATENT ASSIGNEE(S): Unisearch Limited, Australia
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002076454	A1	20021003	WO 2002-AU339	20020320
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2441768	AA	20021003	CA 2002-2441768	20020320
EP 1379242	A1	20040114	EP 2002-713920	20020320
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004525140	T2	20040819	JP 2002-574969	20020320
PRIORITY APPLN. INFO.:			US 2001-278435P	P 20010326
			CA 2001-2342472	A 20010330
			WO 2002-AU339	W 20020320

OTHER SOURCE(S): MARPAT 137:273177
GI

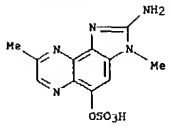


I

AB The invention discloses the use of compound I [R1 = H, alkyl, alkenyl, alkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenylalkyl, aryl etc. ; R2 = H, alkyl; R3 = H, alkyl, alkenyl, alkenylalkyl, cycloalkyl, cycloalkylalkyl, cycloalkenylalkyl, aryl, arylalkyl etc.] for the treatment of a tumor in a subject.
IT **90509-02-7**, Luxabendazole
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treatment of cancer and compns. for use therein)
RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:725162 CAPLUS
DOCUMENT NUMBER: 138:34552
TITLE: Metabolism of heterocyclic aromatic amines by human hepatocytes and cytochrome P4501A2
AUTHOR(S): Turesky, Robert J.; Guengerich, F. Peter; Guillouzo, Andre; Langouet, Sophie
CORPORATE SOURCE: National Center for Toxicological Research, Jefferson, AR, 72079-9502, USA
SOURCE: Mutation Research (2002), 506-507, 187-195
CODEN: MUREAV; ISSN: 0027-5107
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The metabolism of 2-amino-3,8-dimethylimidazo[4,5-f]quinoxaline (MeIQx) and 2-amino-1-methyl-6-phenylimidazo[4,5-b]pyridine (PhIP) was investigated in primary human and rat hepatocytes. The genotoxic metabolites 2-(hydroxyamino)-3,8-dimethylimidazo[4,5-f]quinoxaline (HONH-MeIQx) and 2-(hydroxyamino)-1-methyl-6-phenylimidazo[4,5-b]pyridine (HONH-PhIP), which are formed by cytochrome P 4501A2 (CYP1A2), were detected as stable N2-glucuronide and N2- and N3-glucuronide conjugates, resp. These products accounted for as much as 10% of the amount of MeIQx and 60% of PhIP added to human hepatocytes. Significantly lower amts. of these products were formed in rat hepatocytes. The phase II conjugates N2-3,8-dimethylimidazo[4,5-f]quinoxalin-2-yl-sulfamic acid (MeIQx-N2-SO3H) and N2-(β-1-glucosiduronyl)-2-amino-3,8-dimethylimidazo[4,5-f]quinoxaline (MeIQx-N2-Gl), as well as the 7-oxo derivs. of MeIQx and N-desmethyl-MeIQx, 2-amino-3,8-dimethyl-6-hydro-7H-imidazo[4,5-f]quinoxalin-7-one (7-oxo-MeIQx), and 2-amino-6-hydro-8-methyl-7H-imidazo[4,5-f]quinoxalin-7-one (N-desmethyl-7-oxo-MeIQx) were also identified. A novel CYP1A2-derived metabolite was characterized as 2-amino-3-methylimidazo[4,5-f]quinoxaline-8-carboxylic acid (IQx-8-COOH) and was the predominant metabolite formed in human hepatocytes exposed to MeIQx at levels approaching human exposure. Unlike human hepatocytes, rat cell prepns., even following pretreatment with the potent CYP1A1/CYP1A2 inducer 3-methylcholanthrene (3-MC) did not produce IQx-8-COOH but did catalyze the formation of 2-amino-3,8-dimethyl-5-hydroxyimidazo[4,5-f]quinoxaline (5-HO-MeIQx) as a major CYP-mediated detoxication product. In the case of PhIP, direct glucuronidation of the N2 and N3 positions also occurred in human and rat hepatocytes. Glucuronide and sulfate conjugates of 2-amino-4'-hydroxy-1-methyl-6-phenylimidazo[4,5-b]pyridine (4'-HO-PhIP) were detected as relatively minor metabolites in human hepatocytes but were the major products formed in rat hepatocytes, accounting for up to 50% of the metabolism. Rat CYP1A2, but not the human ortholog, significantly contributes to 4'-hydroxylation of PhIP. Important differences exist between human and rat liver enzymes in catalytic activity and regioselectivity of MeIQx and PhIP metabolism. Some human hepatocyte prepns. are more active at transforming MeIQx and PhIP to a genotoxic species than rat hepatocytes pretreated with potent inducer 3-MC. These pronounced interspecies differences in metabolism of MeIQx and PhIP may affect the biol. activity of these mutagens and must be considered when assessing human health risk.
IT **130146-79-1**
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(metabolism of heterocyclic aromatic amines by human hepatocytes and cytochrome P 4501A2)
RN 130146-79-1 CAPLUS
CN 3H-Imidazo[4,5-f]quinoxalin-5-ol, 2-amino-3,8-dimethyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)

L4 ANSWER 6 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



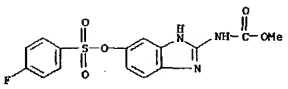
REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L4 ANSWER 7 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:574927 CAPLUS
DOCUMENT NUMBER: 137:119655
TITLE: Combinations of drugs (e.g., a benzimidazole and pentamidine) for the treatment of neoplastic disorders
INVENTOR(S): Borisy, Alexis; Keith, Curtis; Foley, Michael A.; Stockwell, Brent R.
PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

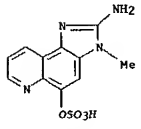
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002058697	A1	20020801	WO 2002-US1707	20020122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002165261	A1	20021107	US 2001-768870	20010124
US 6693125	B2	20040217		
EP 1363625	A1	20031126	EP 2002-709117	20020122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004063769	A1	20040401	US 2003-677664	20031002
PRIORITY APPLN. INFO.:			US 2001-768870	A1 20010124
			WO 2002-US1707	W 20020122

OTHER SOURCE(S): MARPAT 137:119655
AB The invention features a method for treating a patient having a cancer or other neoplasm, by administering to the patient (1) a benzimidazole or a metabolite or analog thereof; and (ii) pentamidine or a metabolite or analog thereof simultaneously or within 14 days of each other in amts. sufficient to inhibit the growth of the neoplasm.
IT 90509-02-7, Lumabendazole
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(drug combinations for treatment of neoplastic disorders)
RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:526664 CAPLUS
DOCUMENT NUMBER: 135:241433
TITLE: Urinary excretion of N-OH-2-amino-3-methylimidazo[4,5-f]quinoline-N-glucuronide in F344 rats is enhanced by green tea
AUTHOR(S): Embola, Carl W.; Weisburger, John H.; Weisburger, Michael C.
CORPORATE SOURCE: Department of Pathology, New York Medical College, Valhalla, NY, 10595, USA
SOURCE: Carcinogenesis (2001), 22(7), 1095-1098
CODEN: CRNGDP; ISSN: 0143-3334
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The effects of green tea on the metabolism of the food carcinogen 2-amino-3-methylimidazo[4,5-f]quinoline (IQ) with emphasis on the formation of the detoxified glucuronides was studied. Two groups of 20 adult male and female Fischer 344 rats consumed 2% green tea or water for 6 wk before being administered a single dose of 40 mg/kg body weight of [2-14C]IQ by oral gavage. Major metabolites in 24 h urine samples were separated by high-performance liquid chromatog. (HPLC), including N-OH-IQ-N-glucuronide, 5-OH-IQ glucuronide and sulfate, IQ sulfamate and IQ itself. The structures of the main metabolites were established by mobility on the HPLC and by mass spectrometry. Sulfate esters and sulfamate were hydrolyzed by 0.1 N HCl for 15 min at 100°, yielding 5-OH-IQ and high levels of IQ. HPLC of the resulting product showed the N-OH-IQ-N-glucuronide and the 5-OH-IQ glucuronide, as well as IQ. The male and female rats drinking tea displayed a significantly higher ($P < 0.05$) excretion of the two major glucuronides. It can be concluded that intake of green tea increases the excretion of N-OH-IQ-N-glucuronide, a detoxified metabolite of the proximate carcinogen N-OH-IQ.
IT 122719-40-8, IQ-5-sulfate
RL: BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(urinary excretion of N-OH-2-amino-3-methylimidazo[4,5-f]quinoline-N-glucuronide in F344 rats by green tea)
RN 122719-40-8 CAPLUS
CN 3H-Imidazo[4,5-f]quinolin-5-ol, 2-amino-3-methyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)

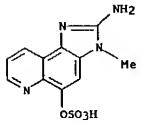


REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:333937 CAPLUS
DOCUMENT NUMBER: 135:152102
TITLE: Green tea and the metabolism of 2-amino-3-methylimidazo[4,5-f]quinoline in F344 rats
AUTHOR(S): Embola, C. W.; Weisburger, M. C.; Weisburger, J. H.
CORPORATE SOURCE: Department of Pathology, New York Medical College, Valhalla, NY, USA
SOURCE: Food and Chemical Toxicology (2001), 39(6), 629-633
CODEN: FCTODJ; ISSN: 0278-6915
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The effects of green tea intake on the metabolism of 2-amino-3-methylimidazo[4,5-f]quinoline (IQ) in the rat was studied. IQ belongs to a new class of mutagens and carcinogens, heterocyclic arylamines, formed during cooking through browning meats and fish, thus, in the food chain of most non-vegetarians. Ten adult male and female Fischer 344 rats were placed on a 2% solution of green tea and 10 control rats were on water for 6 wk. Then, animals were administered a single dose of 40 mg/kg body weight of [2-14C]IQ by oral gavage. Twenty-four hour urine samples were collected and metabolites were separated by HPLC and quantitated by scintillation counting. Two minor and three major metabolites were isolated, including, small quantities of IQ itself. The rats on tea showed significant differences ($P < 0.05$) in the recovery of the three major metabolites, namely, IQ-sulfamate, IQ-5-O-sulfate, and IQ-5-O-glucuronide, resp. Green tea, therefore, influences the manner in which the food carcinogen IQ is metabolized and excreted in urine. Formation of glucuronides, increased by green tea, represent a key means of detoxification of the heterocyclic amine, IQ.

IT **122719-40-8**, IQ-5-sulfate
RL: BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(green tea and metabolism of 2-amino-3-methylimidazo[4,5-f]quinoline in F344 rats)
RN 122719-40-8 CAPLUS
CN 3H-Imidazo[4,5-f]quinolin-5-ol, 2-amino-3-methyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)

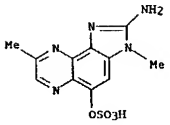


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:320285 CAPLUS
DOCUMENT NUMBER: 138:68196
TITLE: Metabolism of 2-amino-3,8-dimethylimidazo[4,5-f]-quinoxaline in human hepatocytes: 2-amino-3-methylimidazo[4,5-f]quinoxaline-8-carboxylic acid is a major detoxication pathway catalyzed by cytochrome P450 1A2. [Erratum to document cited in CA134:321913]
AUTHOR(S): Langouet, Sophie; Welti, Dieter H.; Kerriguy, Nathalie; Fay, Laurent B.; Tuong, Huynh-Ba; Markovic, Jovanka; Guengerich, F. Peter; Guillouzo, Andre; Turesky, Robert J.
CORPORATE SOURCE: INSERM U456 Faculte de Pharmacie, Universite de Rennes I, Rennes, 35043, Fr.
SOURCE: Chemical Research in Toxicology (2001), 14(5), 609
CODEN: CRTOEC; ISSN: 0893-228X
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In Figure 2 on page 217, the legend should read as follows: "Effect of furafylline on MeIQx metabolism in human heptocytes. The cells were pretreated for 48 h with 0.1, 1, or 5 μM furafylline (from left to right in each histogram), and the amts. of the various MeIQx metabolites were calculated as a percentage of (A) 10 or (B) 1 μM MeIQx used for the treatment. Two independent analyses were performed, and the estimation of metabolite formation was within 15%. One-way ANOVA ($p < 0.01$) for IQx-8-COOH, HON-MeIQx-N2-Gl, and MeIQx at 1 and 10 μM MeIQx and 7-oxo-MeIQx at 1 μM MeIQx as a function of furafylline.".

IT **130146-79-1**
RL: BSU (Biological study, unclassified); FMU (Formation, unclassified); BIOL (Biological study); FORM (Formation, nonpreparative)
(metabolism of aminodimethylimidazoquinoxaline in human hepatocytes (Erratum))
RN 130146-79-1 CAPLUS
CN 3H-Imidazo[4,5-f]quinoxalin-5-ol, 2-amino-3,8-dimethyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)

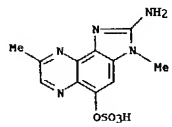


L4 ANSWER 11 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:136331 CAPLUS
DOCUMENT NUMBER: 134:321913
TITLE: Metabolism of 2-amino-3,8-dimethylimidazo[4,5-f]-quinoxaline in human hepatocytes: 2-amino-3-methylimidazo[4,5-f]quinoxaline-8-carboxylic acid Is a major detoxication pathway catalyzed by cytochrome P450 1A2
AUTHOR(S): Langouet, Sophie; Welti, Dieter H.; Kerriguy, Nathalie; Fay, Laurent B.; Huynh-Ba, Tuong; Markovic, Jovanka; Guengerich, F. Peter; Guillouzo, Andre; Turesky, Robert J.
CORPORATE SOURCE: INSERM U456 Faculte de Pharmacie, Universite de Rennes I, Rennes, 35043, Fr.
SOURCE: Chemical Research in Toxicology (2001), 14(2), 211-221
CODEN: CRTOEC; ISSN: 0893-228X
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Metabolic pathways of the mutagen 2-amino-3,8-dimethylimidazo[4,5-f]quinoxaline (MeIQx) remain incompletely characterized in humans. In this study, the metabolism of MeIQx was investigated in primary human hepatocytes. Six metabolites were characterized by UV and mass spectroscopy. Novel metabolites were addnl. characterized by 1H NMR spectroscopy. The carcinogenic metabolite, 2-(hydroxyamino)-3,8-dimethylimidazo[4,5-f]quinoxaline, which is formed by cytochrome P 450 1A2 (P 450 1A2), was found to be transformed into the N2-glucuronide conjugate, N2-(β-1-glucosiduronyl)-2-(hydroxyamino)-3,8-dimethylimidazo[4,5-f]quinoxaline. The phase II conjugates N2-(3,8-dimethylimidazo[4,5-f]quinoxalin-2-yl)sulfamic acid and N2-(β-1-glucosidurony1)-2-amino-3,8-dimethylimidazo[4,5-f]quinoxaline, as well as the 7-oxo derivs. of MeIQx and N-desmethyl-MeIQx, 2-amino-3,8-dimethyl-6-hydro-7H-imidazo[4,5-f]quinoxalin-7-one (7-oxo-MeIQx), and 2-amino-6-hydro-8-methyl-7H-imidazo[4,5-f]quinoxalin-7-one (N-desmethyl-7-oxo-MeIQx), thought to be formed exclusively by the intestinal flora, were also identified. A novel metabolite was characterized as 2-amino-3-methylimidazo[4,5-f]quinoxaline-8-carboxylic acid (IQx-8-COOH), and it was the predominant metabolite formed in hepatocytes exposed to MeIQx at levels approaching human exposure. IQx-8-COOH formation is catalyzed by P 450 1A2. This metabolite is a detoxication product and does not induce umuC gene expression in Salmonella typhimurium strain NM2009. IQx-8-COOH is also the principal oxidation product of MeIQx excreted in human urine [Turesky, R., et al. (1998)]. Thus, P 450 1A2 is involved in both the metabolic activation and detoxication of this procarcinogen in humans. Analogous metabolism expts. were conducted with hepatocytes of untreated rats and rats pretreated with the P 450 inducer 3-methycholanthrene. Unlike human hepatocytes, the rat cell prepns. did not produce IQx-8-COOH but catalyzed the formation of 2-amino-3,8-dimethyl-5-hydroxyimidazo[4,5-f]quinoxaline as a major P 450-mediated detoxication product. In conclusion, our results provide evidence of a novel MeIQx metabolism pathway in humans through P 450 1A2-mediated C8-oxidation of MeIQx to form IQx-8-COOH. This biotransformation pathway has not been detected in exptl. animal species. Considerable interspecies differences exist in the metabolism of MeIQx by P450s, which may affect the biol. activity of this mutagen and must be considered when assessing human health risk.

IT **130146-79-1**
RL: BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(metabolism of aminodimethylimidazoquinoxaline in human hepatocytes)
RN 130146-79-1 CAPLUS

L4 ANSWER 11 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 3H-Imidazo[4,5-f]quinoxalin-5-ol, 2-amino-3,8-dimethyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)



REFERENCE COUNT: 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

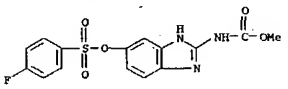
L4 ANSWER 12 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:476162 CAPLUS
DOCUMENT NUMBER: 129:197544
TITLE: Pharmacokinetics of intravenous luxabendazole in rabbits: influence of the enterohepatic circulation
AUTHOR(S): Alvarez-Bujidos, Lucia; Ortiz, Ana I.; Molina-Martinez, Irene T.; Cubria, Carlos; Ordonez, David
CORPORATE SOURCE: Departamento de Fisiologia, Farmacologia y Toxicologia, Facultad de Veterinaria, Universidad de Leon, Leon, E-24071, Spain
SOURCE: Biopharmaceutics & Drug Disposition (1998), 19(5), 341-347
CODEN: BDDID8; ISSN: 0142-2782
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Luxabendazole (LBZ) is a new benzimidazole carbamate chemotherapeutic agent, which has proved to be very effective against adult and immature stages of the major gastrointestinal nematodes, trematodes and cestodes. While information on the efficacy of LBZ in several animal species is available, there seems to be no published information describing the disposition kinetics in any of them. As a part of the clin. development of luxabendazole, the pharmacokinetics of a single i.v. dose was investigated in parasite-free rabbits. Serial blood samples were collected at timed intervals for 12 h following administration of the dose, and concns. in plasma were determined by a sensitive and specific HPLC method. Published data on LBZ point to the possible existence of an enterohepatic cycle (EHC), and so, it seemed appropriate to carry out two different forms of test. In the first, the possibility of intestinal resorption of LBZ excreted via the bile was allowed for (Treatment 1), while in the second it was interrupted by the oral administration of activated charcoal (Treatment 2). In both cases the animals were given a single dose of 10 mg kg-1 of LBZ i.v. (i.v). Comparison of the areas under the curve (AUCs) of LBZ concns. in plasma samples taken from the animals receiving each treatment showed significant difference ($p < 0.05$). The given dose (10 mg kg-1) was converted in Treatment 1 to an ED of 13.9 mg kg-1 through recycling of LBZ. With Treatment 2 a bicompartmental distribution model for this drug was confirmed, together with high apparent distribution vols.: Vc = 1.87 L kg-1, and Vβ = 7.09 L kg-1.

IT **90509-02-7**, Luxabendazole
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(pharmacokinetics of i.v. luxabendazole in rabbits and influence of the enterohepatic circulation)

RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L4 ANSWER 13 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

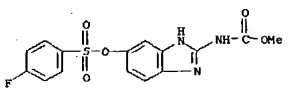
ACCESSION NUMBER: 1998:455343 CAPLUS
DOCUMENT NUMBER: 129:58835
TITLE: Veterinary formulation of benzimidazole derivative endoparasiticides for topical application
INVENTOR(S): Derrieu, Guy; Piat, Jean Philippe Robert Charles; Pougnas, Jean Luc
PATENT ASSIGNEE(S): Virbac S. A., Fr.
SOURCE: Fr. Demande, 24 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2755824	A1	19980522	FR 1996-14068	19961119
FR 2755824	B1	19990108		
PRIORITY APPLN. INFO.:			FR 1996-14068	19961119

AB The title formulations comprise a benzimidazole endoparasiticide (oxfendazole, albendazole, albendazole sulfoxide, fenbendazole, flubendazole, mebendazole, thiabendazole, cambendazole, etc.) a nonaq. vehicle, a nonaq. cosolvent, a nonionic surfactant and a polymer. The nonaq. vehicle is DMSO, decyl Me sulfoxide, N,N-dimethylacetamide, 2-pyrrolidone or N-methylpyrrolidone. The benzimidazole derivs. are i.n the form of real soluble in the formulation.

IT **90509-02-7**, Luxabendazole
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(veterinary formulation of benzimidazole derivative endoparasiticides for topical application)

RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



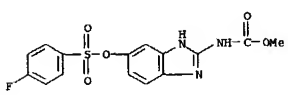
L4 ANSWER 14 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:342251 CAPLUS
DOCUMENT NUMBER: 129:103768
TITLE: Relations between the structure and embryotoxic action of nitrogen- and sulfur-containing organic compounds
AUTHOR(S): Tyurina, L. A.; Zul'karnaev, T. R.; Solominova, T. S.; Tyurin, A. A.; Shaimukhametova, R. Kh.; Pilyugin, V. S.; Khaliullin, F. A.
CORPORATE SOURCE: Nauchno-Issled. Tekhnol. Inst. Gerbitsidov i Regulyatorov Rosta Rastenii, Ufa, Russia
SOURCE: Khimiko-Farmatsevticheskii Zhurnal (1998), 32(2), 21-27
CODEN: KHFZAN; ISSN: 0023-1134
PUBLISHER: Izdatel'stvo Folium
DOCUMENT TYPE: Journal
LANGUAGE: Russian

AB The authors presented the results of the anal. of the structure-embryotoxicity relationships based on the use of the computer program SARD. Preparation of the novel anthelmintic biphen (VK-40) is described.

IT **90509-02-7**
RL: ADV (Adverse effect, including toxicity); PRP (Properties); BIOL (Biological study)
(relations between the structure and embryotoxic action of nitrogen- and sulfur-containing organic compds.)

RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

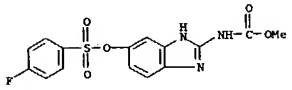


L4 ANSWER 15 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:795227 CAPLUS
DOCUMENT NUMBER: 128:110279
TITLE: A new in vitro assay of benzimidazole activity against adult Oesophagostomum dentatum
AUTHOR(S): Petersen, Mads Bjelke; Friis, Christian; Bjorn, Henrik
CORPORATE SOURCE: Department of Pharmacology and Pathobiology, Copenhagen, DK-1870, Den.
SOURCE: International Journal for Parasitology (1997), 27(11), 1333-1339
CODEN: IJPYBT; ISSN: 0020-7519
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A new in vitro assay of benzimidazole activity against adult Oesophagostomum dentatum is described. The method is based on the ability of O. dentatum to migrate through polyamide nets after exposure to various concns. of benzimidazole. To determine an appropriate mesh size, control worms and worms exposed to 10 μM oxfendazole for 24 h were allowed to migrate through nets with various mesh sizes (300-500 μm) for up to 1 h. A mesh size of 350 μm and migration periods of 10, 20 and 30 min were selected. Exposure to oxfendazole at 10 μM for 24, 48 and 72 h inhibited the migration in a time-dependent manner. After 72 h of exposure and with a 20-min migration period, the EC50 of oxfendazole for O. dentatum was 0.564 μM. In further studies the activities of albendazole sulfoxide, albendazole, cambendazole, fenbendazole, flubendazole, luxabendazole, mebendazole, oxfendazole, oxibendazole, parbendazole and thiabendazole were compared. The worms were exposed to each drug at two concns. (0.1 and 3.16 μM) for 72 h. At 3.16 μM there were no significant differences in the activity of the drugs. At 0.1 μM significant differences in activity were found. Albendazole sulfoxide and oxfendazole were poor inhibitors of migration compared with their parent compds., albendazole and fenbendazole.

IT **90509-02-7**, Luxabendazole
RL: ANT (Analyte); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(in vitro assay of benzimidazole activity against adult Oesophagostomum dentatum)

RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



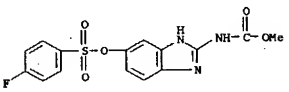
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:737711 CAPLUS
DOCUMENT NUMBER: 128:43392
TITLE: Pharmacokinetics of luxabendazole after oral and intravenous administration to sheep
AUTHOR(S): Ortiz, Ana I.; Alvarez-Bujidos, Lucia; Ferre, Ignacio; Ordonez, David
CORPORATE SOURCE: Departamento de Fisiologia, Farmacologia y Toxicologia, Facultad de Veterinaria, Universidad de Leon, Leon, E-24071, Spain
SOURCE: American Journal of Veterinary Research (1997), 58(11), 1263-1266
CODEN: AJVRAH; ISSN: 0002-9645
PUBLISHER: American Veterinary Medical Association
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors determined the pharmacokinetics of luxabendazole after oral and IV administration to 7 clin. normal female Merino sheep between 9 and 12 mo old. Pharmacokinetics were determined after oral and IV administration of luxabendazole at a dose of 10 mg/kg of body weight Serial blood samples were collected for 56 h after administration. Plasma concns. of luxabendazole were determined by high-pressure liquid chromatog. After IV administration, elimination of luxabendazole was slow, with a mean half-life of 8.72 h. Mean steady-state volume of distribution and mean distribution volume during the elimination phase were 3.18 and 3.10 L/kg, resp. Mean clearance was 0.24 L/kg·h, and mean area under the concentration-time curve was 41.89 mg·h/L. After oral administration, luxabendazole was slowly absorbed from the gastrointestinal tract. Mean absorption half-life was 2.26 h. Peak plasma concentration was 0.50 μg/mL and was detected 14 to 16 h after drug administration. Mean area under the concentration-time curve was 12.03 mg·h/L. Mean bioavailability was 29%. The results suggest that luxabendazole is moderately absorbed from the gastrointestinal tract in sheep, is widely distributed into extravascular compartments, and is cleared slowly. Determination of pharmacokinetic parameters is the first step in determining a safe and efficacious dosage regimen for luxabendazole in sheep.

IT **90509-02-7**, Luxabendazole
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(luxabendazole pharmacokinetics after oral and i.v. administration to sheep)

RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 16 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L4 ANSWER 17 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1997:655430 CAPLUS
DOCUMENT NUMBER: 127:298526
TITLE: Method for promoting hair, nail, and skin keratinization
INVENTOR(S): Schick, Mary P.
PATENT ASSIGNEE(S): Schick, Mary P., USA
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

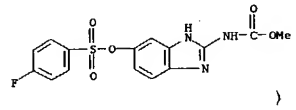
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9735540	A1	19971002	WO 1997-US3919	19970313
W: CN, JP				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5861142	A	19990119	US 1996-621473	19960325
EP 896517	A1	19990217	EP 1997-915037	19970313
R: AT, CH, DE, GB, LI, LU, IE				

PRIORITY APPLN. INFO.: US 1996-621473 A 19960325
WO 1997-US3919 W 19970313

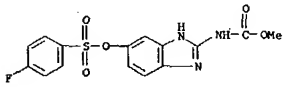
AB A method for promoting keratinization of the hair, nails, and skin on the body of an animal or human comprises administration of a therapeutic amount of a benzimidazole either systemically or directly to the site on the body at which keratinization is desired. The method is useful for the treatment of a wide variety of hair loss disorders in humans such as alopecia, is useful for the treatment of hair loss disorders in animals, is useful for enhancing the strength and length of fingernails and toenails in humans, and is useful for enhancing the strength and length of claws, horns, hooves and antlers in animals. The method is also useful for the topical treatment of fungal infections, for skin replacement or grafting, and for wound healing. Oral and topical administration of fenbendazole to hairless rats resulted in promoting hair growth on the face, lateral thorax and lateral abdomen by day 7.

IT **90509-02-7**, Luxabendazole
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(benzimidazoles for promoting keratinization of hair and nails and skin)

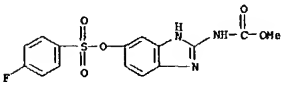
RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



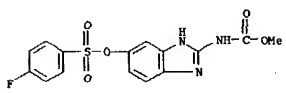
L4 ANSWER 18 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:673603 CAPLUS
DOCUMENT NUMBER: 125:316332
TITLE: Effects of luxabendazole on the intestinal wall of Fasciola hepatica (L.)
AUTHOR(S): Gorchilova, L.; Stoitsova, S.; Poljakova-Krusteva, O.; Spaldonova, R.
CORPORATE SOURCE: Inst. Experimental pathol. Parasitol., Sofia, 1113, Bulg.
SOURCE: Doklady na Bulgarskata Akademiya na Naukite (1996), 49(1), 101-103
CODEN: DBANEH; ISSN: 0861-1459
PUBLISHER: Izdatelstvo na Bulgarskata Akademiya na Naukite
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Rats exptl. infected with F. hepatica were treated with luxabendazole (5, 10, or 20 mg/kg). Luxabendazole had a significant effect on the structural and functional characteristics of the intestinal wall of the fluke. Examination of cell pathol. showed blebbing or disruption of the microvillar membrane, an increase in autophagolysis, and development of necrotic zones. The damage was already marked 48 h after treatment and increased with time, being most severe at 14 days post treatment. Some does-related differences in the extent of damage was seen at the shortest post-treatment interval examined (48 h), but was insignificant at the longer post-treatment intervals (7 or 14 days).
IT **90509-02-7**, *Luxabendazole*
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of luxabendazole on intestinal wall of Fasciola hepatica (L.))
RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



L4 ANSWER 19 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1996:97494 CAPLUS
DOCUMENT NUMBER: 124:193439
TITLE: Bacterial mutagenic evaluation of luxabendazole, a new broad spectrum anthelmintic, with the Salmonella typhimurium His- and the Escherichia coli Trup- reversion tests
AUTHOR(S): Ortiz, Ana I.; Pollastrini, M. Teresa; Barea, Marta; Ordonez, David
CORPORATE SOURCE: Fac. Veterinaria, Univ. Leon, Leon, 24071, Spain
SOURCE: *Mutagenesis* (1996), 11(1), 27-31
CODEN: MUTAEX; ISSN: 0267-8357
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Luxabendazole is a new benzimidazole carbamate chemotherapeutic agent, which has proved to be effective against adult and immature stages of the major gastrointestinal nematodes, trematodes and cestodes. The mutagenic properties of Luxabendazole were investigated in the in vitro Ames Salmonella and E. coli tests. The product was tested at concns. of 0.5, 5, 50, 500, 1250 and 2500 µg/plate in the TA1535, TA1538, TA98 and TA100 strains of *Salmonella typhimurium*, and 0.5, 5, 50 and 500 µg/plate in the WP2, WP2 urvA- and its pKM 101-containing derivative CM891 (WP2
urvA- pKM1010) strains of Escherichia coli, with and without S9 microsomal activation (post-mitochondrial liver fraction from Wistar rats pretreated with Aroclor). Pos. and neg. controls were included in each experiment From
the present study it can be concluded that Luxabendazole, over a dose range of 0.5-2500 µg/plate, is unlikely to present a mutagenic hazard, as demonstrated by the Ames test.
IT **90509-02-7**, Luxabendazole
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(bacterial mutagenic evaluation of luxabendazole, a new broad spectrum anthelmintic, with the Salmonella typhimurium His- and the Escherichia coli Trup- reversion tests)
RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

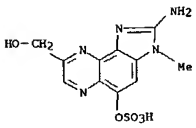


L4 ANSWER 20 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:831958 CAPLUS
DOCUMENT NUMBER: 123:275220
TITLE: Development of a quantitative structure-activity (QSAR) model, based on molecular connectivity indexes for benzimidazole-type anthelmintics
AUTHOR(S): Tello, Miriam; Corredor, Claudia C.
CORPORATE SOURCE: Facultad de Ciencias, Universidad Nacional, Santafe de Bogata, 14490, Colombia
SOURCE: Revista Colombiana de Ciencias Quimico-Farmaceuticas (1995), 23, 32-41
CODEN: RCQFAQ; ISSN: 0034-7418
PUBLISHER: Universidad Nacional de Colombia, Facultad de Ciencias, Departamento de Farmacia
DOCUMENT TYPE: Journal
LANGUAGE: Spanish
AB In the present work a quant. relationship between the anthelmintic action and the chemical structure of benzimidazols 2-methylcarbamate 5(6) substituted group was established, using linear regression anal. and statistical criteria for the selection of the best equation. The chemical structure was quantified by the mol. connectivity method. The regression anal. shows a high correlation between the activity of 31 benzimidazols. The mol. connectivity, a theor. parameter for quantification of the chemical structure, based on the graphos theory helps to explain the dependence of the activity on the substituting groups in the 5 position. The math. model proposed helps to predict the activity of mols. structurally related. Six new mols. of a group of nine showed good activity according to this model.
IT **90509-02-7**, Luxabendazole
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(development of a quant. structure-activity model based on mol. connectivity indexes for benzimidazole-type anthelmintics)
RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

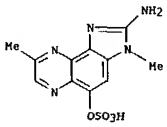


L4 ANSWER 21 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:654391 CAPLUS
DOCUMENT NUMBER: 123:76849
TITLE: Metabolism of the food-derived carcinogen 2-amino-3,8-dimethylimidazo[4,5-f]quinoxaline (MeIQx) in nonhuman primates
AUTHOR(S): Snyderwine, Elizabeth G.; Welti, Dieter H.; Davis, Cindy D.; Bay, Laurent B.; Turesky, Robert J.
CORPORATE SOURCE: Lab. Expl. Carcinogenesis, National Cancer Inst., Bethesda, MD, 20892-4255, USA
SOURCE: Carcinogenesis (1995), 16(6), 1377-84
CODEN: CRNGDP; ISSN: 0143-3334
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The metabolism and disposition of the food mutagen and rodent carcinogen MeIQx
was investigated in cynomolgus monkeys. Monkeys were administered a single dose of radiolabeled [14C]MeIQx (2.2 or 50 µmol/kg). Peak blood levels of radioactivity were observed within 1-3 h after dosing and declined rapidly thereafter. Eight metabolites and the parent compound were detected in urine by HPLC. The parent compound accounted for .apprx.15-25% of the dose excreted in the urine. Seven MeIQx urinary metabolites were identified. Five metabolites were identical to MeIQx metabolites previously found in rats: MeIQx-N2-glucuronide, MeIQx-N2-sulfamate, MeIQx-5-sulfate. Cynomolgus monkeys, however, metabolized MeIQx to a novel glucuronide conjugate of MeIQx not found in rats. Based upon mass spectroscopy and proton NMR analyses, the structure of this metabolite was *consistent with an N1-glucuronide of MeIQx. This metabolite was the major* urinary metabolite found in monkeys, accounting for 31-37% of the dose excreted in the urine over a 24 h period. One addnl. metabolite identified in urine and feces of MeIQx treated cynomolgus monkeys, that has not been found previously in any other animal model, was 7-oxo-MeIQx, a likely enteric bacterial metabolite of MeIQx. 7-Oxo-MeIQx accounted for 20-25% of the dose of MeIQx found in the urine and was the major fecal metabolite. The N2-glucuronide conjugate of the carcinogenic metabolite 2-hydroxyamino-3,8-dimethylimidazo[4,5-f]quinoxaline (NHOH-MeIQx) was not detected in urine or bile of monkeys, even after 10 daily doses of MeIQx (100 µmol/kg) were given. The results indicate that MeIQx is metabolically processed in monkeys via multiple pathways of detoxification. However, MeIQx is poorly metabolically activated via cytochrome P 450 mediated N-oxidation The in vivo metabolism of MeIQx in cynomolgus monkeys is different from that of the structurally related *food-derived mutagen 2-amino-3-methylimidazo[4,5-f]quinoline (IQ), which* is readily metabolically activated by this species and in contrast to MeIQx, had been shown to be a powerful hepatic carcinogen.
IT **130146-77-9** **130146-79-1**, MeIQx-5-sulfate
RL: BSU (Biological study, unclassified); MFM (Metabolic formation); BIOL (Biological study); FORM (Formation, nonpreparative)
(metabolism of the food-derived carcinogen MeIQx in cynomolgus monkey)
RN 130146-77-9 CAPLUS
CN 3H-Imidazo[4,5-f]quinoxaline-8-methanol, 2-amino-3-methyl-5-(sulfooxy)- (9CI) (CA INDEX NAME)

L4 ANSWER 21 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 130146-79-1 CAPLUS
CN 3H-Imidazo[4,5-f]quinoxalin-5-ol, 2-amino-3,8-dimethyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:444225 CAPLUS
DOCUMENT NUMBER: 122:205174
TITLE: Synergistic anthelmintic compositions
INVENTOR(S): Boray, Joseph Coloman
PATENT ASSIGNEE(S): Australian National University, USA; State of New South Wales
SOURCE: PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

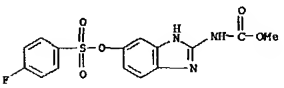
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9428887	A1	19941222	WO 1994-AU315	19940614
W: AU, NZ, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
AU 9469654	A1	19950103	AU 1994-69654	19940614
AU 679753	B2	19970710		
ZA 9404191	A	19950208	ZA 1994-4191	19940614
EP 710105	*A1*	*19960508*	*EP 1994-918238*	*19940614*
EP 710105	B1	20030730		
R: BE, CH, DE, ES, FR, GB, IE, IT, LI				

PRIORITY APPLN. INFO.: AU 1993-9339 A 19930615
WO 1994-AU315 W 19940614

AB A method for the control of Fasciola spp. and other helminths in an animal, particularly a ruminant animal, comprises the administration to the animal of at least two anthelmintic-active drugs, optionally together with an acceptable carrier or diluent, to exert a synergistic effect in the animal. The anthelmintic-active drugs are selected from the group consisting of halogenated monophenols or bisphenols, salicylanilides, benzene sulfonamides, halogenated benzimidazoles, benzimidazoles and benzimidazole carbamates. Synergistic compns. comprising these *anthelmintic-active drugs are also disclosed. Efficacy of synergistic* combinations against F. hepatica are reported.

IT **90509-02-7**, Luxabendazole **161799-20-8**
161829-01-2 161829-02-3
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(anthelmintic synergistic combinations)

RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

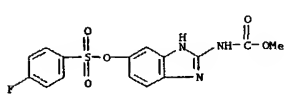


RN 161799-20-8 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester, mixt. with 4-amino-6-(trichloroethenyl)-1,3-*benzenedisulfonamide (9CI) (CA INDEX NAME)*

CM 1

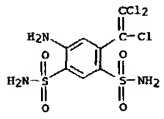
L4 ANSWER 22 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CRN 90509-02-7
CMF C15 H12 F N3 O5 S



CM 2

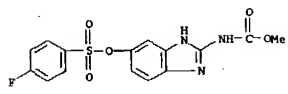
CRN 60200-06-8
CMF C8 H8 C13 N3 O4 S2



RN 161829-01-2 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester, mixt. with 5-chloro-6-(2,3-dichlorophenoxy)-2-(methylthio)-1H-benzimidazole (9CI) (CA INDEX NAME)

CM 1

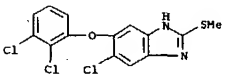
CRN 90509-02-7
CMF C15 H12 F N3 O5 S



CM 2

CRN 68786-66-3
CMF C14 H9 C13 N2 O S

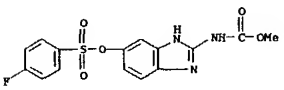
L4 ANSWER 22 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 161829-02-3 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester, mixt. with N-[5-chloro-4-[(4-chlorophenyl)cyanomethyl]-2-methylphenyl]-2-hydroxy-3,5-diiodobenzamide (9CI) (CA INDEX NAME)

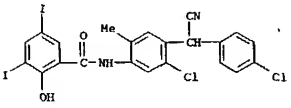
CM 1

CRN 90509-02-7
CMF C15 H12 F N3 O5 S



CM 2

CRN 57808-65-6
CMF C22 H14 C12 I2 N2 O2



L4 ANSWER 23 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:364211 CAPLUS
DOCUMENT NUMBER: 122:114945
TITLE: controlled-release antiparasitic compositions
INVENTOR(S): Hennessy, Desmond Ronald; Ashes, John Richard; Scott, Trevor William; Gulati, Suresh Kumar; Steel, John Winston
PATENT ASSIGNEE(S): Commonwealth Scientific and Industrial Research Organization, Australia; Meat Research Corp.
SOURCE: PCT Int. Appl., 29 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9427598	A1	19941208	WO 1994-AU272	19940524
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2163455	AA	19941208	CA 1994-2163455	19940524
AU 9467902	A1	19941220	AU 1994-67902	19940524
AU 687062	B2	19980219		
BR 9406627	A	19960206	BR 1994-6627	19940524
EP 705101	A1	19960410	EP 1994-916095	19940524
EP 705101	B1	20011219		
R: DE, ES, FR, GB, IT				
ES 2170099	T3	20020801	ES 1994-916095	19940524
ZA 9403647	A	19950127	ZA 1994-3647	19940525
US 5840324	A	19981124	US 1996-549755	19960313

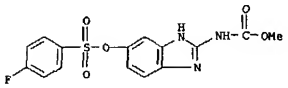
PRIORITY APPLN. INFO.: AU 1993-9030 A 19930526
WO 1994-AU272 W 19940524

AB The delivery of anti-parasitic agents to ruminant animals in a controlled manner to enable the agent to have maximum effect on the parasite for longer times than is possible with conventional formulations is described. The compns. comprise a benzimidazole, macrocyclic lactone, organophosphate, salicylanilide/substituted phenol, tetramisole or pyrimidine anti-parasitic agent, dispersed in a medium the solubility characteristics of which are such as to ensure that, following oral administration, controlled amts. of the anti-parasitic agent become available to the parasite, either directly or by absorption into the ruminant blood plasma, during passage of the composition through the rumen, the abomasum and the intestine. A 3-stage release antiparasitic formulation was prepared from benzimidazole, vegetable oil, emulsification with caseins, freeze-drying and treatment with formalin.

IT 90509-02-7, Luxabendazole
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(controlled-release antiparasitic compns.)

RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

L4 ANSWER 23 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

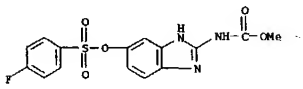


L4 ANSWER 24 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:342640 CAPLUS
DOCUMENT NUMBER: 122:122569
TITLE: Effects of luxabendazole on the spermatogenesis and ultrastructure of the spermatozoa of Fasciola hepatica
AUTHOR(S): Stoitsova, S. R.; Gorchilova, L. N.
CORPORATE SOURCE: Institute Parasitology, Bulgarian Academy Sciences, Sofia, 1113, Bulg.
SOURCE: Doklady na Bulgarskata Akademiya na Naukite (1993), 46(9), 97-9
CODEN: DBANEH; ISSN: 0861-1459
PUBLISHER: Izdatelstvo na Bulgarskata Akademiya na Naukite
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Forty-eight h after administration of luxabendazole (5 or 10 mg/kg) to rats exptl. infected with Fasciola hepatica, the occurrence of abnormal spermatozoa of the F. hepatica was quite frequent. These results may explain the reduced fecundity of luxabendazole-treated flukes.

IT 90509-02-7, Luxabendazole
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effects of luxabendazole on the spermatogenesis and ultrastructure of spermatozoa of Fasciola hepatica in relation to anthelmintic activity)

RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



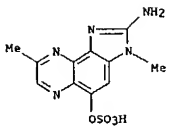
L4 ANSWER 25 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1995:291828 CAPLUS
DOCUMENT NUMBER: 122:99126
TITLE: Species differences in metabolism of heterocyclic aromatic amines, human exposure, and biomonitoring
AUTHOR(S): Turesky, Robert J.; Gross, Gian A.; Stillwell, W. G.; Skipper, Paul L.; Tannenbaum, Steven R.
CORPORATE SOURCE: Nestle Research Centre, Nestec Ltd., Lausanne, 1000/26, Switz.
SOURCE: Environmental Health Perspectives Supplements (1994), 102(SUPPL. 6), 47-51
CODEN: EHPSEO; ISSN: 1078-0475
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Heterocyclic aromatic amines (HAAs) are animal carcinogens and suspected human carcinogens which are formed in cooked foods at the low ppb level. HAAs in cooked meats were purified by either immunoaffinity chromatog. or solid phase tandem extraction, which allowed for the simultaneous anal. of 11 HAAs by HPLC. The metabolism of two prominent HAAs, MeIQx and IQ, was investigated in animal models and in vitro with human tissues to develop strategies for human biomonitoring. MeIQx and IQ are rapidly absorbed from the gastrointestinal tract of rodents and transformed into several detoxification products which are excreted in urine and feces. Metabolites result from cytochrome P 450-mediated ring oxidation at the C-5 position followed by conjugation to sulfate or β-glucuronic acid. Other major metabolites include the phase II conjugates, N2-glucuronide and N2-sulfamate. A metastable N2-glucuronide conjugate of the genotoxic metabolite of N-hydroxy-MeIQx was also detected in urine and bile. The binding of both carcinogens to blood proteins was low and suggests that human biomonitoring through protein adducts may be difficult. These metabolic pathways exist in nonhuman primates and several of these pathways also occur in vitro with human liver. The urinary excretion of MeIQx in seven human subjects following consumption of cooked beef or fish ranged between 2 and 22 ng in 12 h when determined by neg. ion chemical ionization GC-MS. After acid hydrolysis of urine, the amount of MeIQx increased 4- to 10-fold in 6 of the 7 subjects. These acid labile metabolites were identified as the N2-sulfamate and N2-glucuronide following column chromatog. and HPLC purification Thus, amine sulfamation and N2-glucuronidation are important routes of detoxification of MeIQx in rodents, nonhuman primates, and humans.

IT 130146-79-1
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(urine; species differences in metabolism of heterocyclic aromatic amines and human exposure and biomonitoring)

RN 130146-79-1 CAPLUS
CN 3H-Imidazo[4,5-f]quinoxalin-5-ol, 2-amino-3,8-dimethyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)

L4 ANSWER 25 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



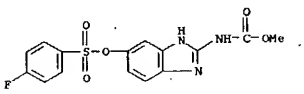
L4 ANSWER 26 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:218095 CAPLUS
DOCUMENT NUMBER: 122:272
TITLE: The intestinal absorption of luxabendazole in rats
AUTHOR(S): del Estal, J. L.; Alvarez-Bujidos, M. L.; Balana Fouce, R.; Ordonez, D.; Prieto, J. G.
CORPORATE SOURCE: Dept. Fisiologia, Univ. Leon, Leon, E-24071, Spain
SOURCE: Journal of Pharmaceutical and Biomedical Analysis (1994), 12(11), 1471-14
CODEN: JPBADA; ISSN: 0731-7085
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Intestinal absorption of luxabendazole in rats may be due to a kinetic mechanism of simple diffusion and therefore no energy-dependent saturable kinetics are involved. Kinetic consts. of 2 structural analogs (albendazole and mebendazole) were also determined and the consts. compared with octanol/water partition coeffs.

IT 90509-02-7, Luxabendazole
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(intestinal absorption of)

RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



L4 ANSWER 27 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1994:612991 CAPLUS
DOCUMENT NUMBER: 121:212991
TITLE: Synergistic compositions containing benzimidazole anthelmintics and methylenedioxyphenyl compounds
INVENTOR(S): Benchaoui, Hafid Abdelaali; Mckellar, Quintin Archibald
PATENT ASSIGNEE(S): University of Glasgow, UK
SOURCE: PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9417798	A1	19940818	WO 1994-GB193	19940202
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2153785	AA	19940818	CA 1994-2153785	19940202
AU 9459744	A1	19940829	AU 1994-59744	19940202
AU 675826	B2	19970220		
ZA 9400718	A	19950802	ZA 1994-718	19940202
EP 682518	A1	19951122	EP 1994-905775	19940202
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9406244	A	19960206	BR 1994-6244	19940202
CN 1117267	A	19960221	CN 1994-191091	19940202
JP 09500089	T2	19970107	JP 1994-517771	19940202
RU 2121837	C1	19981120	RU 1995-120362	19940202
US 5744494	A	19980428	US 1995-495486	19950725

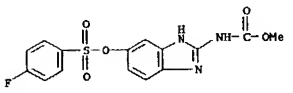
PRIORITY APPLN. INFO.: GB 1993-2107 A 19930203
WO 1994-GB193 W 19940202

AB The anthelmintic efficacy in animals and humans of a benzimidazole such as fenbendazole (I), is potentiated by use with piperonyl butoxide (II) or other methylenedioxyphenyl synergists. Lambs were fed an oral dose of 6000 I-resistant Ostertagia circumcincta and 28 days after infection animals were treated with 5mg I/kg and 63 mg II/kg and were killed on day 35 and nematode egg nos. were determined in feces. Neither I or II alone significantly reduced the number of O. circumcincta in the abomasa of lambs while the combination of I and II reduced the number by 84.9%.

IT 90509-02-7D, Luxabendazole, mixts. with methylenedioxyphenyl derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(synergistic anthelmintic compns.)

RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

L4 ANSWER 27 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

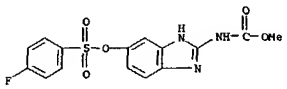


L4 ANSWER 28 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:548399 CAPLUS
DOCUMENT NUMBER: 121:148399
TITLE: Effects of luxabendazole on the tegument of Fasciola hepatica
AUTHOR(S): Stoitsova, S.R.; Gorchilova, L.N.
CORPORATE SOURCE: Inst. Parasitol., Sofia, 1113, Bulg.
SOURCE: Journal of Helminthology (1994), 68(1), 73-80
CODEN: JOHLAT; ISSN: 0022-149X
DOCUMENT TYPE: Journal
LANGUAGE: English

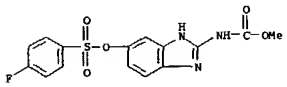
AB The effects in vivo of 5, 10, and 20 mg/kg of luxabendazole (LBZ) on the tegument of Fasciola hepatica have been examined 48 h, 7 days and 14 days post-treatment of exptl.-infected rats. As early as 48 h post-treatment, the drug is shown to provoke significant damage to the tegument. The pathol. phenomena characterizing LBZ damage are blebbing of the apical plasmalemma, formation of microvillus-like projections over the free surface, swelling of the basal infolds and stimulation of autophagy. The spines are often fractured; the tegument in the vicinity of spines seems more strongly altered than that in other foci. The basal layer is often changed, from increase of electron d. to lack of integrity with the apical cytoplasm. The progress of the ultrastructural damage with time is not expressed. However, cytochem. data show that at longer post-treatment intervals the surface-coat structure becomes irregular and patches of ruthenium red pos. material of variable thickness are focally accumulated. Only a slight dose-effect is noted 48 h after LBZ application when the alterations provoked by 5 mg/kg are less evident than those by 10 and 20 mg/kg.

IT **90509-02-7**, Luxabendazole
RL: BIOL (Biological study)
(tegument damage by, in Fasciola hepatica)

RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



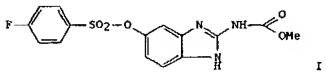
L4 ANSWER 29 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



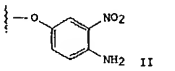
L4 ANSWER 29 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1994:298627 CAPLUS
DOCUMENT NUMBER: 120:298627
TITLE: Process for preparing methyl [5-(4-fluorobenzenesulfonyloxy)benzimidazol-2-yl]carbamate [dabendazole]
INVENTOR(S): Novacek, Alois; Korner, Jaroslav; Hromas, Josef; Brozek, Jiri; Danek, Jaroslav
PATENT ASSIGNEE(S): Chemopharma, Czech.
SOURCE: Czech., 4 pp.
CODEN: CZXXA9
DOCUMENT TYPE: Patent
LANGUAGE: Czech
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CS 277240	B6	19921216	CS 1990-4247	19900831
PRIORITY APPLN. INFO.:			CS 1990-4247	19900831

OTHER SOURCE(S): CASREACT 120:298627
GI



I



II

AB The anthelmintic dabendazole (I) is prepared by reduction of 2-amino-5-(4-fluorobenzenesulfonyloxy)nitrobenzene (II) with Fe or Zn in dilute AcOH in EtOH, followed by cyclocondensation of the resultant 1,2-diamino-4-(4-fluorophenylsulfonyloxy)benzene with MeOCONHCN (III) in situ. Compared to prior art methods using catalytic hydrogenation and sep. reduction and cyclization steps, the new method is simpler and safer. In an example, II was refluxed with powdered Fe or Zn in an H2O/AcOH/EtOH mixture, followed by addition of active C, filtration, addition of III to the filtrate, and further boiling, to give after cooling 81% I, pure by chromatog.

IT **90509-02-7P**, Dabendazole
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, via zinc or iron reduction of aminonitrobenzene derivative)

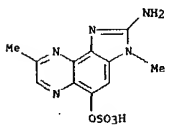
RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

L4 ANSWER 30 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:402018 CAPLUS
DOCUMENT NUMBER: 119:2018
TITLE: Phase I and phase II xenobiotic reactions and metabolism of the food-borne carcinogen 2-amino-3,8-dimethylimidazo[4,5-f]quinoxaline in aggregating liver cell cultures
AUTHOR(S): Schilter, B.; Turesky, R. J.; Juillerat, M.; Honegger, P.; Guigoz, Y.
CORPORATE SOURCE: Inst. Physiol., Univ. Lausanne, Lausanne, CH-1005, Switz.
SOURCE: Biochemical Pharmacology (1993), 45(5), 1087-96
CODEN: BCPCA6; ISSN: 0006-2952
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Aggregating fetal liver cell cultures were tested for their ability to metabolize xenobiotics using ethoxycoumarin-O-deethylase (ECOD), as marker of phase I metabolism, and glutathione S-transferase (GST), as marker for phase II reactions. Significant basal activities, stable over 14 days in culture, were measured for both ECOD and GST activities. The prototype cytochrome P 450 inducers, 3-methylcholanthrene (3-MC) and phenobarbital (PB), increased ECOD and GST activities, reaching an optimum 7 days after culturing, followed by a decline in activity. This decline was partially prevented by 1% DMSO added chronically to the culture medium. DMSO was also found to induce ECOD activity and to a lesser extent GST activity. Furthermore, it potentiated in a dose-dependent manner the induction of ECOD by PB. The food-borne carcinogen 2-amino-2,8-dimethylimidazo[4,5-f]quinoxaline (MeIQx) is metabolically transformed through a number of pathways in vivo. It was, therefore, used to examine the metabolic capacity in fetal and adult liver cell aggregates. Metabolism of MeIQx was mainly through N2-conjugation, resulting in formation of the N2-glucuronide and sulfamate conjugates for non-induced fetal liver cells. These metabolites were also found in large amts. in non-induced adult liver cells. Low levels of cytochrome P 450-mediated ring-hydroxylated metabolites were detected in both non-induced fetal and adult liver cells. After induction with Arochlor (PCB) or 3-MC, the major pathway was ring-hydroxylation (cytochrome P 450 dependent), followed by conjugation to β-glucuronic or sulfuric acid. The presence of the glucuronide conjugate of N-hydroxy-MeIQx, a mutagenic metabolite, suggested an induction of P 450 CYP1A2. The metabolism of MeIQx by liver cell aggregates is very similar to that observed in vivo and suggests that aggregating liver cell cultures are a useful model for in vitro metabolic studies in toxicol.

IT **130146-79-1**
RL: FORM (Formation, nonpreparative)
(formation of, in liver cells)

RN 130146-79-1 CAPLUS
CN 3H-Imidazo[4,5-f]quinoxalin-5-ol, 2-amino-3,8-dimethyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)



L4 ANSWER 30 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

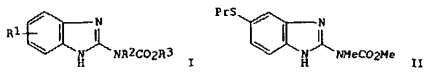
L4 ANSWER 31 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1993:234060 CAPLUS
DOCUMENT NUMBER: 118:234060
TITLE: Preparation and formulation of N-(2-benzimidazolyl)carbamates as anthelmintics
INVENTOR(S): Banks, Bernard Joseph; Dutton, Christopher James; Goudie, Alexander Crossan
PATENT ASSIGNEE(S): Pfizer Ltd., UK; Pfizer Inc.
SOURCE: PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9302058	A1	19930204	WO 1992-EP1578	19920713
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
CA 2113567	AA	19930204	CA 1992-2113567	19920713
CA 2113567	C	19971125		
EP 596917	A1	19940518	EP 1992-915286	19920713
EP 596917	B1	19981028		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
JP 07500088	T2	19950105	JP 1992-502566	19920713
JP 2971135	B2	19991102		
AT 172724	E	19981115	AT 1992-915286	19920713
ES 2121861	T3	19981216	ES 1992-915286	19920713
US 5538990	A	19960723	US 1994-185996	19940114

PRIORITY APPLN. INFO.: GB 1991-15272 A 19910715
WO 1992-EP1578 W 19920713

OTHER SOURCE(S): MARPAT 118:234060
GI

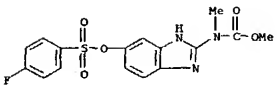


AB Title compds. (I; R1 = PhCO, PhO, alkyl, alkoxy, benzazolyl, etc.; R2, R3 = alkyl) were prepared as anthelmintics (no data). Thus, albendazole was N-methylated to give title compound II.

IT **147355-52-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as anthelmintic)

RN 147355-52-0 CAPLUS
CN *Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)methylamino]-1H-benzimidazol-5-yl ester (9CI)* (CA INDEX NAME)

L4 ANSWER 31 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



L4 ANSWER 32 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

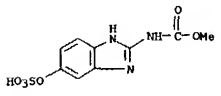
ACCESSION NUMBER: 1993:207037 CAPLUS
DOCUMENT NUMBER: 118:207037
TITLE: Determination of methyl 5-hydroxy-2-benzimidazole carbamate in urine by high-performance liquid chromatography with electrochemical detection
AUTHOR(S): Leenheers, L. H.; Engel, R.; Spruit, W. E. T.; Meuling, W. J. A.; Jongen, M. J. M.
CORPORATE SOURCE: Med. Biol. Lab., TNO, Rijswijk, 2280 AA, Neth.
SOURCE: Journal of Chromatography, Biomedical Applications (1993), 613(1), 89-94
CODEN: JCBADL; ISSN: 0378-4347
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A HPLC assay for Me 5-hydroxy-2-benzimidazole carbamate (5-HBC) in urine was developed in order to assess the exposure of workers to the pesticide carbendazim. 5-HBC is measured in urine after hydrolysis, sample clean-up through a strong cation-exchange (SCX) column and extraction with Et acetate.

HPLC with electrochem. detection provides selective and sensitive determination of 5-HBC with a detection limit of 5 μg/L. A C18 reversed-phase column was used with 0.06 M ammonium acetate solution (pH 8)-methanol (73:27) as mobile phase. The method was validated with respect to hydrolysis of urine samples, anal. recovery of spiked 5-HBC, stability of 5-HBC conjugates, limit of detection, background and precision. The overall anal. recovery from urine was better than 60%. 5-HBC, excreted in urine as a conjugate, was stable for at least one year when stored at -20°. A *background of ca. 5 μg/L was detected in urine from* some non-occupationally exposed persons. Between-day coeffs. of variations as calculated from the results of the stability test were 7, 4 and 4% for concns. of 61, 244 and 295 μg/L 5-HBC, resp..

IT **51276-89-2D**, conjugates
RL: ANST (Analytical study)
(as carbendazim metabolites in human urine, methylhydroxybenzimidazole anal. by HPLC in relation to)

RN 51276-89-2 CAPLUS
CN Carbamic acid, [5-(sulfooxy)-1H-benzimidazol-2-yl]-, C-methyl ester (9CI) (CA INDEX NAME)



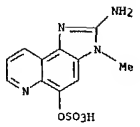
L4 ANSWER 33 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1993:75141 CAPLUS
DOCUMENT NUMBER: 118:75141
TITLE: Entrapment by magnetic microcapsules of the protein pyrolysates IQ, PhIP and Glu-P-1, and alteration of IQ metabolite exposure within the rat gastrointestinal tract by risk-modulating components of the human diet
AUTHOR(S): O'Neill, I.; Ohgaki, H.; Ellul, A.; Turesky, R. J.
CORPORATE SOURCE: Int. Agency Res. Cancer, Lyon, 69372, Fr.
SOURCE: Carcinogenesis (1992), 13(12), 2353-9
CODEN: CRNGDP; ISSN: 0143-3334
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The entrapment of heterocyclic aromatic amine gastrointestinal carcinogens (HAAs), by retrievable semipermeable magnetic polyethyleneimine (PEI) microcapsules was investigated in vitro and in vivo as an approach for human biomonitoring. The 14C-labeled IQ, PhIP and Glu-P-1 are adsorbed to PEI microcapsules in vitro and can be desorbed by treatment with methanolic ammonia. Binding of HAAs to PEI microcapsules containing copper phthalocyanine, a moiety which reversibly binds chems. with aromatic planar structures, was 2- to 4-fold higher than with unmodified PEI microcapsules. PEI microcapsules also acted as a nucleophile and trapped the proximate carcinogenic metabolite of IQ, N-hydroxy-IQ. The entrapment of 14C-labeled IQ and PhIP by microcapsules was investigated in vivo in *male F344 rats fed a conventional chow diet or a human diet with varying* amts. of fat and beef intake typically consumed in the UK. Animals were adapted to human diets which were either high (H) or low (L) in fat (F), beef protein (B) and dietary fiber non-starch polysaccharide (NSP). Microcapsule entrapment of IQ and metabolites was 0.5-2.0% of the dose and 4-fold higher in rats consuming a HF/HB/LNSP than those consuming a LF/LB/HNSP diet, these being resp. putative high- and low-risk-associated diets. In the HF/HB/LNSP diet group, a higher amount of IQ metabolites were detected in the microcapsules; a lower proportion of covalently bound metabolites could be removed by acid hydrolysis. Urinary excretion was 2-fold greater and anal. of the urinary metabolites showed there to be lower sulfotransferase activity than in the LF/LB/HNSP group. The amount of 14C-labeled PhIP entrapped by PEI microcapsules was 1.5% of the dose in *rodents fed a LF/HB/LNSP human diet and binding was 7-fold higher than in* rodents fed a semi-purified diet. These results demonstrate that microcapsules can entrap IQ and PhIP and their metabolites within the GI tract of rodents. The amts. entrapped by microcapsules in the rodent model suggest that this approach may be feasible for human biomonitoring of HAAs and for non-invasively studying dietary modulations of carcinogen exposure within a potential HAA target organ at high risk from as-yet unidentified causes.

IT **122719-40-8**
RL: BIOL (Biological study)
(IQ metabolite, factors affecting metabolism from magnetic microcapsules in relation to)

RN 122719-40-8 CAPLUS
CN 3H-Imidazo[4,5-f]quinolin-5-ol, 2-amino-3-methyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)

L4 ANSWER 33 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



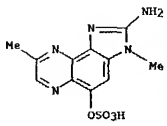
L4 ANSWER 34 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:632345 CAPLUS
DOCUMENT NUMBER: 117:232345
TITLE: Electron impact and fast atom bombardment mass spectrometric analysis of the food-borne carcinogens 2-amino-3-methylimidazo [4,5-f] quinoline, 2-amino-3,8-dimethylimidazo [4,5-f] quinoxaline and their metabolites
AUTHOR(S): Fay, Laurent B.; Turesky, Robert J.
CORPORATE SOURCE: Nestle Res. Cent., Nestec Ltd., Lausanne, 1000, Switz.
SOURCE: Biological Mass Spectrometry (1992), 21(9), 463-9
CODEN: BIMSEH; ISSN: 1052-9306
DOCUMENT TYPE: Journal
LANGUAGE: English

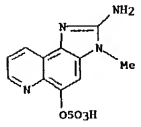
AB Electron impact (EI) and fast atom bombardment (FAB) mass spectrometry were used to characterize the heterocyclic aromatic amines, 2-amino-3methylimidazo[4,5-f]quinoline and 2-amino-3,8-dimethylimidazo[4,5-f]quinoxaline and their metabolites. The carcinogenic N2-hydroxy metabolites and several non-conjugated detoxification products were analyzed directly by EI mass spectrometry, while several polar sulfate and β-glucuronic acid conjugates were analyzed by FAB mass spectrometry. Anal. of β-glucuronic acid conjugates was also achieved by EI mass spectrometry following silylation.

IT **122719-40-8**, IQ-5-sulfate **130146-77-9**
130146-79-1, MeIQx-5-sulfate
RL: ANT (Analyte); ANST (Analytical study)
(determination of, in urine by mass spectrometry)

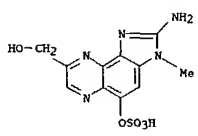
RN 122719-40-8 CAPLUS
CN 3H-Imidazo[4,5-f]quinolin-5-ol, 2-amino-3-methyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)

L4 ANSWER 34 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(ester) (9CI) (CA INDEX NAME)



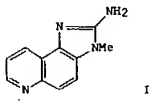


RN 130146-77-9 CAPLUS
CN 3H-Imidazo[4,5-f]quinoxaline-8-methanol, 2-amino-3-methyl-5-(sulfooxy)- (9CI) (CA INDEX NAME)



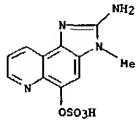
RN 130146-79-1 CAPLUS
CN 3H-Imidazo[4,5-f]quinoxalin-5-ol, 2-amino-3,8-dimethyl-, hydrogen sulfate

L4 ANSWER 35 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:628171 CAPLUS
DOCUMENT NUMBER: 117:228171
TITLE: Metabolism of the food mutagen 2-amino-3-methylimidazo[4,5-f]quinoline in nonhuman primates undergoing carcinogen bioassay
AUTHOR(S): Snyderwine, Elizabeth G.; Welti, Dieter H.; Fay, Laurent B.; Wuerzner, Hans Peter; Turesky, Robert J.
CORPORATE SOURCE: Div. Cancer Etiol., Natl. Cancer Inst., Bethesda, MD, 20892, USA
SOURCE: Chemical Research in Toxicology (1992), 5(6), 843-51
CODEN: CRTOEC; ISSN: 0893-228X
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



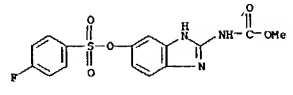
I

AB The metabolism and disposition of the procarcinogen IQ (I) were investigated in monkeys undergoing carcinogen bioassay and in monkeys given an acute dose of IQ. Anal. of urine, feces, and bile revealed that IQ was extensively metabolized. Metabolites resulted from cytochrome P 450-mediated ring oxidation at the C-5 position or N-demethylation. These metabolites could be further transformed by conjugation to sulfate or β-glucuronic acid. Glucuronidation and sulfamate formation at the exocyclic amine group were other major routes of metabolism Enteric bacteria also contributed to IQ biotransformation by forming the 7-oxo derivative of IQ and N-demethyl-IQ. The metastable N2-glucuronide conjugate of the carcinogenic metabolite, 2-(hydroxyamino)-3-methylimidazo[4,5-f]quinoline, was found in urine. Thus, metabolic activation through cytochrome P 450-mediated N-oxidation occurs in vivo and glucuronidation is a means of transport of the carcinogenic metabolite to extrahepatic tissues.
IT 122719-40-8
RL: BIOL (Biological study)
(as aminomethylimidazoquinoline metabolite, in monkey)
RN 122719-40-8 CAPLUS
CN 3H-Imidazo[4,5-f]quinolin-5-ol, 2-amino-3-methyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)

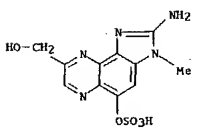


L4 ANSWER 35 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

L4 ANSWER 36 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:503485 CAPLUS
DOCUMENT NUMBER: 117:103485
TITLE: Determination of luxabendazole in biological fluids by high-performance liquid chromatography
AUTHOR(S): Alvarez-Bujidos, M. L.; Ortiz, A.; Balana, R.; Cubria, J. C.; Ordonez, D.; Negro, A.
CORPORATE SOURCE: Dep. Fisiol., Farmacol. Toxicol., Univ. Leon, Leon, E-24071, Spain
SOURCE: Journal of Chromatography (1992), 578(2), 321-6
CODEN: JOCRAM; ISSN: 0021-9673
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Luxabendazole, a new benzimidazole, is a highly potent broad-spectrum anthelmintic. A high-performance liquid chromatog. method has been developed for its determination in serum and urine samples. In order to optimize the clean-up of samples the authors compared two procedures:. C18 Sep-Pak cartridges and ultrafiltration through a cellulose membrane with a 30 000 relative mol. mass cut-off. In order to obtain the most suitable mobile phase, the influence of pH and acetonitrile content on the capacity factor (k') was studied. Chromatog. separation and quantification were performed on a reversed-phase column packed with 5-μm Nucleosil C18. The mobile phase was acetonitrile-0.05 M phosphate buffer (pH 7.0), (40:60, volume/volume). The column effluent was monitored by UV-visible spectrophotometry at 290 nm. The method shows good recovery, precision and accuracy. The lower limit of detection for luxabendazole is 15 ng/mL in serum samples and 25 ng/mL in urine samples.
IT 90509-02-7, Luxabendazole
RL: ANT (Analyte); ANST (Analytical study)
(determination of, in urine and blood samples by HPLC)
RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

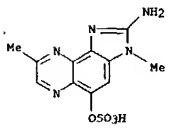


L4 ANSWER 37 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:36008 CAPLUS
DOCUMENT NUMBER: 116:36008
TITLE: The effect of dose and cytochrome P450 induction on the metabolism and disposition of the food-borne carcinogen 2-amino-3,8-dimethylimidazo[4,5-f]quinoxaline (MeIQx) in the rat
AUTHOR(S): Turesky, Robert J.; Markovic, Jovanka; Bracco-Hammer, Ingrid; Fay, Laurent B.
CORPORATE SOURCE: Nestle Res. Cent., Nestec Ltd., Vers-chez-les Blanc, CH-1000, Switz.
SOURCE: Carcinogenesis (1991), 12(10), 1847-55
CODEN: CRNGDP; ISSN: 0143-3334
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Rats were given MeIQx by gavage at doses of 0.01, 0.2, and 20 mg/kg. The phase II conjugates, MeIQx-N2-sulfamate and MeIQx-N2-glucuronide, were the predominant metabolites found in urine of noninduced animals at the highest dose treatment. Animals induced with PCB produced greater amts. of metabolites hydroxylated at the 5 position of MeIQx which were excreted as glucuronide or sulfate conjugates. At the lowest dose studied, the urinary excretion profile was nearly identical for both animal groups and cytochrome P 450 induction had little influence on metabolism In contrast to high dose exposure, where sulfamate formation was a major route of detoxification, N2-glucuronide formation was the most important metabolic pathway for elimination of MeIQx at low doses. Liver microsomes transformed MeIQx to the genotoxic metabolite 2-hydroxyamino-3,8-dimethylimidazo[4,5-f]quinoxaline (HNOH-MeIQx), and N-hydroxylase activity was 20-fold greater in microsomes obtained from PCB-treated animals than in untreated control animals. The increase in N-hydroxylase activity was discerned in vivo through formation of the metastable N-glucuronide conjugate of HNOH-MeIQx (MeIQx-[HO-N]-Gl). This metabolite accounted for .apprx.3% of the dose in bile of PCB-treated rats. In contrast, in the noninduced rat, MeIQx-[HO-N]-Gl was preferentially excreted in urine and accounted for .apprx.0.2-1% of the total dose. These results demonstrate that the metabolism of MeIQx in the rat is influenced by both dose and cytochrome P 450 induction. The absence of intestinal tumors in the noninduced rat may be partially attributed to the low levels of formation and poor biliary excretion of the N-glucuronide conjugate of the genotoxic metabolite HNOH-MeIQx.
IT 130146-77-9 130146-79-1
RL: BIOL (Biological study)
(as MeIQx metabolite, cytochrome P 450 and dose in relation to)
RN 130146-77-9 CAPLUS
CN 3H-Imidazo[4,5-f]quinoxaline-8-methanol, 2-amino-3-methyl-5-(sulfooxy)- (9CI) (CA INDEX NAME)



RN 130146-79-1 CAPLUS

L4 ANSWER 37 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 3H-Imidazo[4,5-f]quinoxalin-5-ol, 2-amino-3,8-dimethyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)



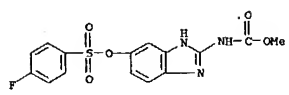
L4 ANSWER 38 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1992:34548 CAPLUS
DOCUMENT NUMBER: 116:34548
TITLE: Antiparasitic compositions containing pyraclobos and benzimidazole for animal use
INVENTOR(S): Parish, Roger; Chapin, Frederic W.; Kono, Yoshiaki; Tsukui, Makoto
PATENT ASSIGNEE(S): SmithKline Beecham Corp., USA; Takeda Chemical Industries, Ltd.
SOURCE: PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9108669	A1	19910627	WO 1990-US6595		19901109
W: AU, BR, CA, HU, JP, KR, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE					
JP 04009333	A2	19920114	JP 1990-186813		19900713
EP 505389	A1	19920930	EP 1990-917621		19901109
EP 505389	B1	19970514			
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE					
BR 9007951	A	19921110	BR 1990-7951		19901109
HU 62474	A2	19930528	HU 1992-2055		19901109
JP 05504334	T2	19930708	JP 1991-500559		19901109
AU 654942	B2	19941201	AU 1991-68715		19901109
AT 152879	E	19970515	AT 1990-917621		19901109
ES 2102370	T3	19970801	ES 1990-917621		19901109
ZA 9010174	A	19910925	ZA 1990-10174		19901218
CN 1053549	A	19910807	CN 1990-110426		19901219
CN 1173331	A	19980218	CN 1997-105431		19970526

PRIORITY APPLN. INFO.: JP 1989-330224 A 19891219
JP 1989-338973 A 19891226
JP 1990-113147 A 19900427
JP 1989-330224 19891219
JP 1990-186813 19900713
WO 1990-US6595 W 19901109

OTHER SOURCE(S): MARPAT 116:34548
AB Antiparasitic compns. for animal use contain pyraclofos (I) or related compds. with/without benzimidazole derivs. The compns. are effective in the prevention, treatment, and removal of internal and external parasites, and especially effective in killing benzimidazole-resistant helminths at dosage levels nontoxic to the animals. Thus, worm-free sheep were infested with benzimidazole-resistant Haemonchus contortus, Ostertagia circumcincta, or Trichostrongylus colubr and treated by direct percutaneous intraruminal puncture with 30 mg I and 3.8 mg albendazole/kg. The infestations were effectively controlled.
IT **90509-02-7D**, Luxabendazole, mixts. with pyraclofos derivs.
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(antiparasitic activity of)
RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

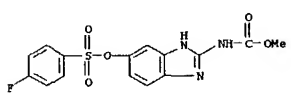
L4 ANSWER 38 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



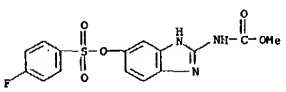
L4 ANSWER 39 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:589757 CAPLUS
DOCUMENT NUMBER: 115:189757
TITLE: Non-aqueous micellar solutions of various drugs
INVENTOR(S): Crooks, Michael John
PATENT ASSIGNEE(S): Australia
SOURCE: Eur. Pat. Appl., 10 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
EP 427582	A2	19910515	EP 1990-402860		19901012
EP 427582	A3	19920812			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE					
US 5169846	A	19921208	US 1990-595906		19901011
AU 9064533	A1	19910418	AU 1990-64533		19901012
AU 628671	B2	19920917			
ZA 9008165	A	19910828	ZA 1990-8165		19901012

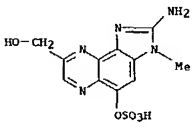
PRIORITY APPLN. INFO.: AU 1989-6807 A 19891012
AB A nonaq. micellar solution for improvement of animal health comprise water-insol. anthelmintics and/or insect growth regulators in an ethoxylated oil of fat surfactant and cosolvents chosen from a group containing DMSO, N-Me pyrrolidone, tetraglycol, and propylene glycol. The system allows poorly water-soluble drugs to enhance their bioavailability and also allows transport of the drugs (especially for insect growth regulators) across the skin. Thus, 5 g albendazole was dispersed in DMSO 10 g and 85 g of ethoxylated castor oil was added while heating to give a clear product for topical administration.
IT **90509-02-7**, Luxabendazole
RL: BIOL (Biological study)
(nonaq. solution containing ethoxylated castor oil and methylpyrrolidone and, bioavailability improvement in)
RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



L4 ANSWER 40 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:199108 CAPLUS
DOCUMENT NUMBER: 114:199108
TITLE: Comparative efficacies of commercially available benzimidazoles against Pseudodactylogyrus infestations in eels
AUTHOR(S): Buchmann, K.; Bjerregaard, J.
CORPORATE SOURCE: Dep. Fish Dis., R. Vet. Agric. Univ., Frederiksberg, DK-1870, Den.
SOURCE: Diseases of Aquatic Organisms (1990), 9(2), 117-20
CODEN: DAOREO; ISSN: 0177-5103
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The antiparasitic efficacies of 9 benzimidazoles in com. available formulations were tested (water bath treatments) on small pigmented eels, Anguilla anguilla, exptl. infested by 30 to 140 specimens of Pseudodactylogyrus (Monogenea). Exposure time was 24 h and eels were examined 4 to 5 d post treatment. Mebendazole (Vermox; 1 mg L-1) eradicated all parasites, whereas luxabendazole (pure substance) and albendazole (Valbazen) were 100% effective only at a concentration of 10 mg L-1. Flubendazole (Flubenol), fenbendazole (Panacur) and oxibendazole (Loditac) (10 mg L-1) caused a reduction of the infestation level to a larger extent than did triclabendazole (Fasinex) and parbendazole (Helmatac). Thiabendazole (Equizole), even at a concentration as high as 100 mg L-1, was without effect on Pseudodactylogyrus.
IT **90509-02-7**, Luxabendazole
RL: PRP (Properties)
(anthelmintic effect of, in eels infested with Pseudodactylogyrus)
RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

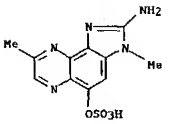


L4 ANSWER 41 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1991:162526 CAPLUS
DOCUMENT NUMBER: 114:162526
TITLE: Immunochemical detection of rodent hepatic and urinary metabolites of cooking-induced food mutagens
AUTHOR(S): Vanderlaan, M.; Alexander, J.; Thomas, C.; Djanegara, T.; Hwang, M.; Watkins, B. E.; Wallin, H.
CORPORATE SOURCE: Biomed. Sci. Div., Lawrence Livermore Natl. Lab., Livermore, CA, 94550, USA
SOURCE: Carcinogenesis (1991), 12(2), 349-54
CODEN: CRNGDP; ISSN: 0143-3334
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Monoclonal antibodies, previously selected to bind either 2-amino-3,8-dimethylimidazo[4,5-f]quinoxaline (MeIQx) or 2-amino-1-methyl-6-phenylimidazo[4,5-b]pyridine (PhIP) were evaluated to determine their binding properties with several known metabolites of these compds. purified from rat hepatocyte cultures. Both 2-N- and 5-substituted MeIQx metabolites were recognized by antibodies AIA-2 and AIA-11, while antibodies AIA-1 and AIA-12 bound N-substituted metabolites only. Anti-PhIP antibodies bound N-hydroxy-PhIP, N-sulfinamide-glutathione-PhIP and N-hydroxyglucuronide-PhIP. Immunoaffinity columns incorporating these antibodies were used to concentrate and purify both the unmetabolized parent compds. and several relatively nonpolar metabolites from the urine of rats exposed either to MeIQx or PhIP. Several of these metabolites corresponded with available stds. of previously identified polar conjugate metabolites, e.g. N-sulfamate-MeIQx and N(OH)-glu-PhIP, while others were not identified.
IT **130146-77-9 130146-79-1**
RL: BIOL (Biological study)
(monoclonal antibodies binding to, immunol. detection in relation to)
RN 130146-77-9 CAPLUS
CN 3H-Imidazo[4,5-f]quinoxaline-8-methanol, 2-amino-3-methyl-5-(sulfooxy)- (9CI) (CA INDEX NAME)



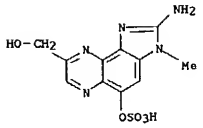
RN 130146-79-1 CAPLUS
CN 3H-Imidazo[4,5-f]quinoxalin-5-ol, 2-amino-3,8-dimethyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)

L4 ANSWER 41 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

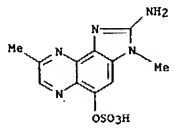


L4 ANSWER 42 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:606464 CAPLUS
DOCUMENT NUMBER: 113:206464
TITLE: The contribution of N-oxidation to the metabolism of the food-borne carcinogen 2-amino-3,8-dimethylimidazo[4,5-f]quinoxaline in rat hepatocytes
AUTHOR(S): Turesky, Robert J.; Bracco-Hammer, Ingrid; Markovic, Jovanka; Richli, Urs; Kappeler, Anne Marie; Welti, Dieter H.
CORPORATE SOURCE: Div. Toxicol. Fundam. Sci., Nestec Ltd., Lausanne, CH-1000, Switz.
SOURCE: Chemical Research in Toxicology (1990), 3(6), 524-35
CODEN: CRTOEC; ISSN: 0893-228X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The metabolism of 2-amino-3,8-dimethylimidazo[4,5-f]quinoxaline, a potent bacterial mutagen and rodent carcinogen formed in low quantities in cooked meat and fish, was studied in freshly isolated rat hepatocytes. Ten metabolites were characterized by various spectroscopic methods. Sulfamate formation was the major route of metabolism in hepatocytes of untreated rats whereas ring-hydroxylated sulfuric and glucuronic acid conjugates were major metabolites in animals pretreated with the enzyme inducers Aroclor 1254, β-naphthoflavone, or isosafrole. The formation of a mutagenic metabolite through N-oxidation, 2-(hydroxyamino)-3,8-dimethylimidazo[4,5-f]quinoxaline (HNOH-MeIQx), was an important route of metabolism in hepatocytes of pretreated animals. Its metastable derivative, the N-hydroxy-N-glucuronide, also was detected. The nitro derivative of MeIQx, a direct-acting bacterial mutagen, was readily detoxified by glutathione transferase, forming a conjugate where the thiol group of glutathione displaced the nitro moiety. Low but detectable levels of N-acetyltransferase activity were observed for MeIQx and sulfamethazine in hepatocytes. HNOH-MeIQx and 4-(hydroxyamino)biphenyl (HNOH-ABP), a recognized human carcinogen, displayed acetyl CoA-dependent DNA binding in hepatic cytosol assays. Sulfamethazine decreased the DNA binding of NHOH-MeIQx in hepatocytes, suggesting a competition for acetyltransferase. However, the binding of HNOH-MeIQx to DNA in hepatocytes was independent of sulfotransferase since inhibitors of this enzyme, 2,6-dichloro-4-nitrophenol (DCNP) and PCP, did not diminish DNA binding. In contrast, binding of HNOH-ABP to DNA was not decreased by sulfamethazine, but binding was diminished by both sulfotransferase inhibitors. From these inhibition expts., it appears that a major route of binding of HNOH-MeIQx to DNA in hepatocytes is mediated through O-acetyltransferase while a significant portion of HNOH-ABP bound to DNA is catalyzed by sulfotransferase.
IT **130146-77-9 130146-79-1**
RL: BIOL (Biological study)
(as aminodimethylimidazoquinoxaline metabolite, of hepatocytes)
RN 130146-77-9 CAPLUS
CN 3H-Imidazo[4,5-f]quinoxaline-8-methanol, 2-amino-3-methyl-5-(sulfooxy)- (9CI) (CA INDEX NAME)

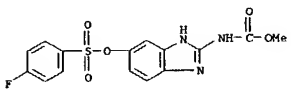
L4 ANSWER 42 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



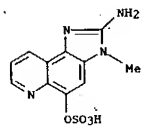
RN 130146-79-1 CAPLUS
CN 3H-Imidazo[4,5-f]quinoxalin-5-ol, 2-amino-3,8-dimethyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)



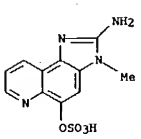
L4 ANSWER 43 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:551046 CAPLUS
DOCUMENT NUMBER: 113:151046
TITLE: Interaction of anthelmintic residues in cow milk with bacteria and Penicillium roquefortii
AUTHOR(S): Longin-Sauvageon, C.; Beguin, J. C.; Florent, M.
CORPORATE SOURCE: INRA, E. Natl. Vet. Lyon, Marcy-l'Etoile, 69280, Fr.
SOURCE: Lait (1990), 70(1), 37-44
CODEN: LAITAG; ISSN: 0023-7302
DOCUMENT TYPE: Journal
LANGUAGE: French
AB Residues of 9 anthelmintics and their metabolites in milk following administration to cows at doses 1.5-fold recommended levels did not have a neg. effect on bacteria (Streptococcus thermophilus, Bacillus species) and P. roquefortii during cheese manufacture Although lobendazole, albendazole, thiabendazole, luxabendazole, and fenbendazole were active against P. roquefortii in vitro (minimal inhibitory concentration ≤1.56 μg/mL), none of these anthelmintics are likely to hinder cheese manufacture when used under recommended conditions.
IT **90509-02-7**, Luxabendazole
RL: BIOL (Biological study)
(Penicillium roquefortii inhibition by, cheese manufacture in relation to)
RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



L4 ANSWER 44 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:113932 CAPLUS
DOCUMENT NUMBER: 112:113932
TITLE: Effect of enzyme inducers on the metabolism of 2-amino-3-methylimidazo[4,5-f]quinoline (IQ) in the rat
AUTHOR(S): Vavrek, M. T.; Sidoti, P.; Reinhardt, J.; Weisburger, J. H.
CORPORATE SOURCE: Am. Health Found., Valhalla, NY, 10595-1599, USA
SOURCE: Cancer Letters (Shannon, Ireland) (1989), 48(3), 183-8
CODEN: CALEDQ; ISSN: 0304-3835
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The effect of enzyme inducers 3-methylcholanthrene (3-MC) and Aroclor 1254 (A-1254) on the metabolic fate of the dietary mutagen and carcinogen 2-amino-3-methylimidazo[4,5-f]quinoline (IQ) in male F344 rats was studied in relation to single dose of corn oil and untreated controls. The latter 2 groups were similar as regards metabolism of IQ. However, the ratio of total metabolites excreted in urine compared with feces was higher in A-1254 pretreated rats. In fact, this distinct excretory pattern stemmed from a lower level of IQ-N-sulfamate, and a considerably higher level of 5-OH-IQ sulfate ester, a major metabolite in urine of A-1254-injected rats. Interestingly, 5-OH-IQ glucuronide urinary levels were unaffected by the treatment. Thus, the direct 5-hydroxylation of IQ appears to be considerably increased by 3-MC and more so by A-1254, and under those conditions the resulting 5-OH-IQ is preferentially converted to the sulfate ester, in turn readily excreted in urine.
IT **122719-40-8**
RL: BIOL (Biological study)
(as IQ metabolite, of feces and urine)
RN 122719-40-8 CAPLUS
CN 3H-Imidazo[4,5-f]quinolin-5-ol, 2-amino-3-methyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)

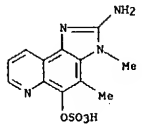


L4 ANSWER 45 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1990:113926 CAPLUS
DOCUMENT NUMBER: 112:113926
TITLE: Characterization of metabolites of the food mutagens 2-amino-3-methylimidazo[4,5-f]quinoline and 2-amino-3,4-dimethylimidazo[4,5-f]quinoline formed after incubation with isolated rat liver cells
AUTHOR(S): Alexander, J.; Holme, J. A.; Wallin, H.; Becher, G.
CORPORATE SOURCE: Dep. Toxicol., Natl. Inst. Public Health, Oslo, N-0462, Norway
SOURCE: Chemico-Biological Interactions (1989), 72(1-2), 125-42
CODEN: CBINA8; ISSN: 0009-2797
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The metabolism of 14C-labeled IQ and MeIQ was studied in suspensions of hepatocytes isolated from PCB-pretreated rats. The metabolites found after incubation of IQ/MeIQ (0.1 mM) with PCB-pretreated hepatocytes for 3 h were separated into three principal groups: Et acetate-extractable metabolites (2-4%), water-soluble metabolites (94-98%), and covalently bound metabolites (0.4-0.5%). The metabolites were evaluated by β-glucuronidase lability, sulfate incorporation, and compared with glucuronides formed by microsomes. The major metabolites formed were a N2-sulfamate, an O-sulfate in position 5 for IQ and 5 for MeIQ, and an O-glucuronide in the same position. The MeIQ N2-sulfamage was much less abundant than the IQ N2-sulfamate. When compared with hepatocytes from uninduced rats, primarily the formation of ring-hydroxylated conjugates increased after PCB-pretreatment. The major Et acetate-extractable metabolites were the N2-acetyl derivs. and an unidentified metabolite. A small peak representing the 5-hydroxy-IQ or 5-hydroxy-MeIQ could also be seen in the HPLC chromatogram of the Et acetate extractable metabolites. All major water-soluble products described in hepatocytes were also found in urine and bile of uninduced rats exposed to IQ/MeIQ in vivo.
IT **122719-40-8**
RL: BIOL (Biological study)
(of hepatocytes, as IQ metabolite)
RN 122719-40-8 CAPLUS
CN 3H-Imidazo[4,5-f]quinolin-5-ol, 2-amino-3-methyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)



IT **125729-27-3**
RL: BIOL (Biological study)
(of hepatocytes, as MeIQ metabolite)
RN 125729-27-3 CAPLUS
CN 3H-Imidazo[4,5-f]quinolin-5-ol, 2-amino-3,4-dimethyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)

L4 ANSWER 45 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



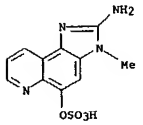
L4 ANSWER 46 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1989:528761 CAPLUS
DOCUMENT NUMBER: 111:128761
TITLE: Identification of sulfate and glucuronic acid conjugates of the 5-hydroxy derivative as major metabolites of 2-amino-3-methylimidazo[4,5-f]quinoline in rats
AUTHOR(S): Luks, Howard J.; Spratt, Thomas E.; Vavrek, M. Thaddeus; Roland, Suzanne F.; Weisburger, John H.
CORPORATE SOURCE: Naylor Dana Inst. Dis. Prevent., Am. Health Found., Valhalla, NY, 10595, USA
SOURCE: Cancer Research (1989), 49(16), 4407-11
CODEN: CNREA8; ISSN: 0008-5472
DOCUMENT TYPE: Journal
LANGUAGE: English

AB New metabolites of 2-amino-3-methylimidazo[4,5-f]quinoline (IQ), a potent mutagen and carcinogen formed during cooking of meat or fish, were identified and quantitated in the urine and bile of rats. Administration was by a pulse gavage dose of 40 mg/kg [2-14C]IQ or by dietary intake of 300 ppm IQ for 6 wk. The metabolites were isolated by HPLC and quantitated by radioactivity. They were then characterized by their resistance or sensitivity to hydrolytic enzymes or acid hydrolysis, by NMR and mass spectrometry, or co-injection with a synthetic sample. A minor metabolite was the IQ N-glucuronide. A major metabolite was formed by hydroxylation of IQ at the 5-position; it was present in urine and bile and was conjugated as the glucuronide or sulfate ester, which together accounted for .apprx.40% of urinary or biliary metabolites. The unconjugated compound partially adsorbs onto the HPLC columns used. The amts. of 5-OH-IQ present as conjugates in urine or bile were similar, irresp. of mode of administration. Thus, hydroxylation of IQ on carbon 5 followed by type conjugation reactions yields quant. important metabolic products.

IT **122719-40-8**
RL: BIOL (Biological study)
(as aminomethylimidazoquinoline metabolite, in urine)

RN 122719-40-8 CAPLUS
CN 3H-Imidazo[4,5-f]quinolin-5-ol, 2-amino-3-methyl-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)



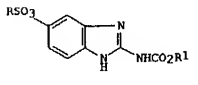
L4 ANSWER 47 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1985:6487 CAPLUS
DOCUMENT NUMBER: 102:6487
TITLE: Substituted phenylsulfonyloxybenzimidazolecarbamates and their anthelminthic use
INVENTOR(S): Roesner, Manfred; Loewe, Heinz; Duewel, Dieter; Kirsch, Reinhard
PATENT ASSIGNEE(S): Hoechst A.-G. , Fed. Rep. Ger.
SOURCE: Ger. Offen., 17 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3247615	A1	19840705	DE 1982-3247615	19821223
HU 32810	O	19840928	HU 1983-4331	19831219
HU 192972	B	19870828		
FI 8304709	A	19840624	FI 1983-4709	19831221
ES 528243	A1	19840801	ES 1983-528243	19831221
EP 115039	A1	19840808	EP 1983-112900	19831221
EP 115039	B1	19880210		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
US 4639463	A	19870127	US 1983-563780	19831221
IL 70520	A1	19880131	IL 1983-70520	19831221
AT 32459	E	19880215	AT 1983-112900	19831221
DK 8305938	A	19840624	DK 1983-5938	19831222
DK 150065	B	19861201		
DK 150065	C	19871026		
NO 8304773	A	19840625	NO 1983-4773	19831222
AU 8322808	A1	19840628	AU 1983-22808	19831222
AU 558902	B2	19870212		
JP 59118774	A2	19840709	JP 1983-241121	19831222
JP 04034545	B4	19920608		
ZA 8309534	A	19840829	ZA 1983-9534	19831222
CA 1199642	A1	19860121	CA 1983-444076	19831222

PRIORITY APPLN. INFO.: DE 1982-3247615 A 19821223
EP 1983-112900 A 19831221

OTHER SOURCE(S): CASREACT 102:6487
GI



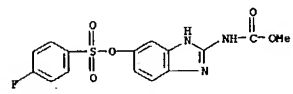
AB Anthelmintic (no data) title compds. (I; R = substituted Ph; R1 = alkyl) were prepared 2,4-(H2N)(4-FC6H4SO3)C6H3NO2 was hydrogenated over Raney Ni to give the diamine which was cyclocondensed with MeO2CN:C(SMe)NHCO2Me to give I (R = 4-FC6H3, R1 = Me).

IT **90509-02-7P 93624-05-6P 93624-06-7P**
93624-07-8P 93624-08-9P 93624-09-0P
93624-10-3P 93624-11-4P 93624-12-5P

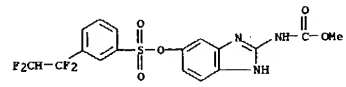
L4 ANSWER 47 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

93624-13-6P 93624-14-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

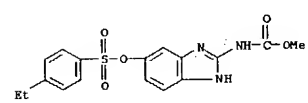
RN 90509-02-7 CAPLUS
CN Benzenesulfonic acid, 4-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



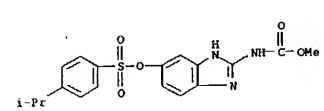
RN 93624-05-6 CAPLUS
CN Benzenesulfonic acid, 3-(1,1,2,2-tetrafluoroethyl)-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



RN 93624-06-7 CAPLUS
CN Benzenesulfonic acid, 4-ethyl-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

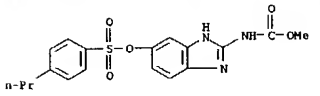


RN 93624-07-8 CAPLUS
CN Benzenesulfonic acid, 4-(1-methylethyl)-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

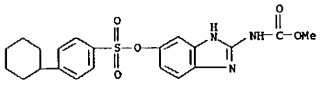


RN 93624-08-9 CAPLUS
CN Benzenesulfonic acid, 4-propyl-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

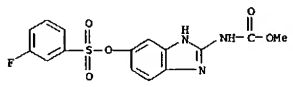
L4 ANSWER 47 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



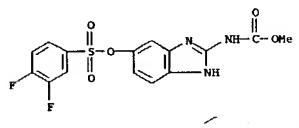
RN 93624-09-0 CAPLUS
CN Benzenesulfonic acid, 4-cyclohexyl-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



RN 93624-10-3 CAPLUS
CN Benzenesulfonic acid, 3-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

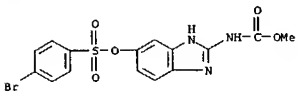


RN 93624-11-4 CAPLUS
CN Benzenesulfonic acid, 3,4-difluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

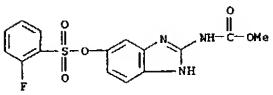


RN 93624-12-5 CAPLUS
CN Benzenesulfonic acid, 4-bromo-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

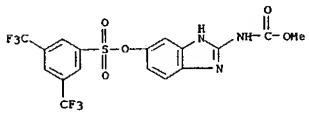
L4 ANSWER 47 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 93624-13-6 CAPLUS
CN Benzenesulfonic acid, 2-fluoro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



RN 93624-14-7 CAPLUS
CN Benzenesulfonic acid, 3,5-bis(trifluoromethyl)-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

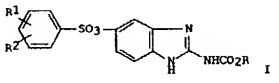


L4 ANSWER 48 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1978:121185 CAPLUS
DOCUMENT NUMBER: 88:121185
TITLE: Anthelmintic 2-carbalkoxyamino-5(6)-phenylsulfonyloxybenzimidazole derivatives
INVENTOR(S): Loewe, Heinz; Urbanietz, Josef; Duwel, Dieter; Kirsch, Reinhard
PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.
SOURCE: Braz. Pedido PI, 36 pp.
CODEN: BPXXDX
DOCUMENT TYPE: Patent
LANGUAGE: Portuguese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BR 7601238	A	19770906	BR 1976-1238	19760226
PRIORITY APPLN. INFO.:			BR 1976-1238 A	19760226

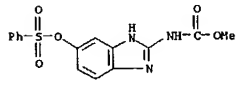
GI



AB Benzimidazolecarbamates I (R = C1-4 alkyl, R1, R2 = H, OH, C1-4 alkyl, alkoxy, or alkoxycarbonyl, halogen, CF3) were prepared Thus MeSC(:NH)NHCO2Me was treated with 3,4-(H2N)2C6H3O3SPh to give I (R = Me, R1 = R2 = H). MeSC(:NH)NHCO2Me was prepared in situ by treating MeSC(:NH)NH2.H2SO4 with ClCO2Me. 3,4-(H2N)2C6H3O3SPh was obtained by treating 3,4-O2N(H2N)C6H3OH with PhSO2Cl and reducing 3,4-O2N(H2N)C6H3O3SPh.

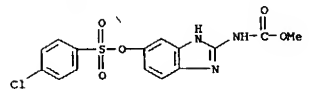
IT **59206-66-5P 59206-70-1P 59206-73-4P**
59206-76-7P 59206-79-0P 59206-82-5P
59206-85-8P 59206-88-1P 62889-94-5P
62889-95-6P 62889-96-7P 62889-97-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 59206-66-5 CAPLUS
CN Carbamic acid, [5-[(phenylsulfonyl)oxy]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

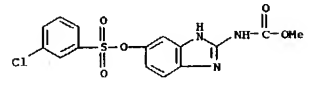


RN 59206-70-1 CAPLUS
CN Benzenesulfonic acid, 4-chloro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

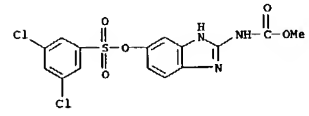
L4 ANSWER 48 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



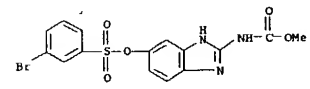
RN 59206-73-4 CAPLUS
CN Benzenesulfonic acid, 3-chloro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



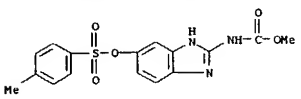
RN 59206-76-7 CAPLUS
CN Benzenesulfonic acid, 3,5-dichloro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



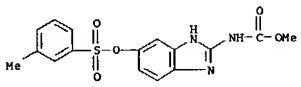
RN 59206-79-0 CAPLUS
CN Benzenesulfonic acid, 3-bromo-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



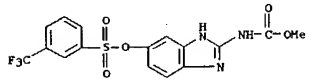
RN 59206-82-5 CAPLUS
CN Carbamic acid, [5-[[(4-methylphenyl)sulfonyl]oxy]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



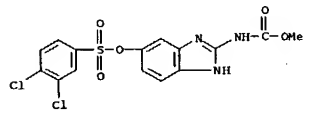
RN 59206-85-8 CAPLUS
CN Benzenesulfonic acid, 3-methyl-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



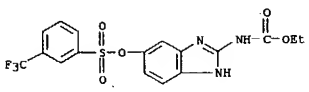
RN 59206-88-1 CAPLUS
CN Benzenesulfonic acid, 3-(trifluoromethyl)-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



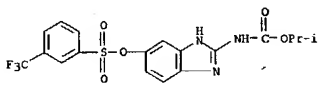
RN 62889-94-5 CAPLUS
CN Benzenesulfonic acid, 3,4-dichloro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



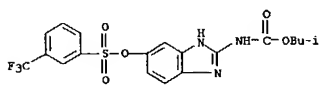
RN 62889-95-6 CAPLUS
CN Benzenesulfonic acid, 3-(trifluoromethyl)-, 2-[(ethoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



RN 62889-96-7 CAPLUS
CN Benzenesulfonic acid, 3-(trifluoromethyl)-, 2-[[(1-methylethoxy)carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



RN 62889-97-8 CAPLUS
CN Benzenesulfonic acid, 3-(trifluoromethyl)-, 2-[[(2-methylpropoxy)carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

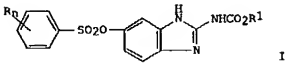


L4 ANSWER 49 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1977:423283 CAPLUS
DOCUMENT NUMBER: 87:23283
TITLE: 2-(Carbalkoxyamino)-5(6)-(phenylsulfonyloxy)benzimidazoles with anthelminthic activity
INVENTOR(S): Loewe, Heinz; Urbanietz, Josef; Duewel, Dieter; Kirsch, Reinhard
PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2541752	A1	19770324	DE 1975-2541752	19750919
JP 59014027	B4	19840402	JP 1976-20235	19760227
NL 7610192	A	19770322	NL 1976-10192	19760914
FI 7602653	A	19770320	FI 1976-2653	19760916
SE 7610310	A	19770320	SE 1976-10310	19760916
HU 172484	P	19780928	HU 1976-HO1929	19760916
DK 7604198	A	19770320	DK 1976-4198	19760917
DK 141550	B	19800421		
DK 141550	C	19801006		
NO 7603196	A	19770322	NO 1976-3196	19760917
CA 1069909	A1	19800115	CA 1976-261425	19760917
AT 7606908	A	19800215	AT 1976-6908	19760917
AT 358575	B	19800925		
CH 619938	A	19801031	CH 1976-11820	19760917

PRIORITY APPLN. INFO.: DE 1975-2541752 19750919
GI



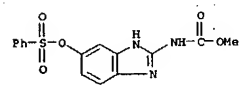
I

AB Anthelmintic benzimidazolecarbamates (I; Rn = H, 3-Cl, 4-Cl, 3-Br, 3-Me, 4-Me, 3,4-Cl2, 3,5-Cl2, 3-F3C; R1 = Me, Et, Me2CH, Me2CHCH2) are prepared by reaction of the appropriate benzenesulfonyl chloride with 5-hydroxybenzimidazolecarbamates. Thus, reaction of 5.15 g 2-(carbomethoxyamino)-5-hydroxybenzimidazole with 4.4 g PhSO2Cl in Me2CO in presence of Et3N gives after 10 h at room temperature 6.2 g I (Rn = H, R1 = Me).

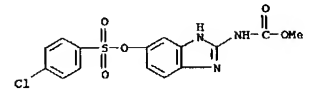
IT **59206-66-5P 59206-70-1P 59206-73-4P 59206-76-7P 59206-79-0P 59206-82-5P 59206-85-8P 59206-88-1P 62889-94-5P 62889-95-6P 62889-96-7P 62889-97-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and anthelmintic activity of)

RN 59206-66-5 CAPLUS
CN Carbamic acid, [5-[(phenylsulfonyl)oxy]-1H-benzimidazol-2-yl]-, methyl

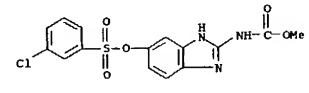
ester (9CI) (CA INDEX NAME)



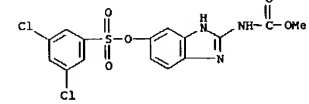
RN 59206-70-1 CAPLUS
CN Benzenesulfonic acid, 4-chloro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



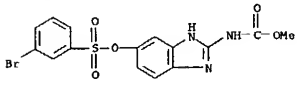
RN 59206-73-4 CAPLUS
CN Benzenesulfonic acid, 3-chloro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



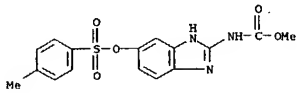
RN 59206-76-7 CAPLUS
CN Benzenesulfonic acid, 3,5-dichloro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



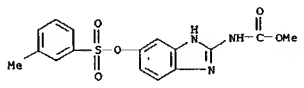
RN 59206-79-0 CAPLUS
CN Benzenesulfonic acid, 3-bromo-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



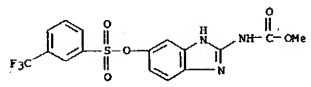
RN 59206-82-5 CAPLUS
CN Carbamic acid, [5-[[(4-methylphenyl)sulfonyl]oxy]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



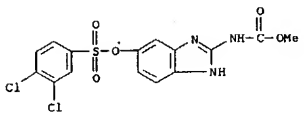
RN 59206-85-8 CAPLUS
CN Benzenesulfonic acid, 3-methyl-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



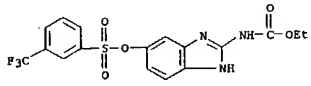
RN 59206-88-1 CAPLUS
CN Benzenesulfonic acid, 3-(trifluoromethyl)-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



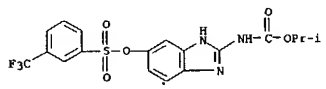
RN 62889-94-5 CAPLUS
CN Benzenesulfonic acid, 3,4-dichloro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



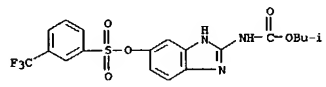
RN 62889-95-6 CAPLUS
CN Benzenesulfonic acid, 3-(trifluoromethyl)-, 2-[(ethoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



RN 62889-96-7 CAPLUS
CN Benzenesulfonic acid, 3-(trifluoromethyl)-, 2-[[(1-methylethoxy)carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



RN 62889-97-8 CAPLUS
CN Benzenesulfonic acid, 3-(trifluoromethyl)-, 2-[[(2-methylpropoxy)carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



L4 ANSWER 50 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1977:405976 CAPLUS
DOCUMENT NUMBER: 87:5976
TITLE: 2-Carbalkoxyaminobenzimidazole derivatives with anthelmintic activity
INVENTOR(S): Loewe, Heinz; Urbanietz, Josef; Duewel, Dieter; Kirsch, Reinhard
PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 19 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2541751	A1	19770324	DE 1975-2541751	19750919
NL 7610191	A	19770322	NL 1976-10191	19760914
FI 7602654	A	19770320	FI 1976-2654	19760916
SE 7610311	A	19770320	SE 1976-10311	19760916
DK 7604199	A	19770320	DK 1976-4199	19760917
NO 7603197	A	19770322	NO 1976-3197	19760917
CH 605822	A	19781013	CH 1976-11822	19760917
AT 7606909	A	19791015	AT 1976-6909	19760917
AT 356651	B	19800512		
CA 1069908	A1	19800115	CA 1976-261424	19760917
PRIORITY APPLN. INFO.:			DE 1975-2541751 A	19750919

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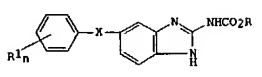
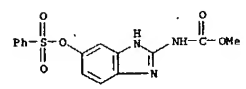


I

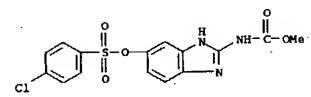
AB Benzimidazolecarbamates I (R = Me, Et, Pr, Bu; R1n = e.g. H, 3-Br, 3-Cl, 4-Cl, 3,5-Cl2, 3-Me, 4-Me, 3-MeO, 3-F3C; X = OSO2, SO2O), useful as anthelmintics (no data), are prepared by treatment of the appropriate 1H-2,1,4-benzothiadiazine-3-carbamates with Ph3P. Thus, treatment of 5 g Ph 3-(carbomethoxyamino)-1H-2,1,4-benzothiadiazine-7-sulfonate with 7.5 g Ph3P 3 h in refluxing CHCl3 gives 3.2 g I (R = Me, R1n = H, X = OSO2).

IT **59206-66-5P 59206-70-1P 59206-73-4P**
59206-76-7P 59206-79-0P 59206-82-5P
59206-85-8P 59206-88-1P 62889-94-5P
62889-95-6P 62889-96-7P 62889-97-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

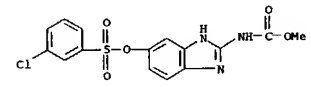
RN 59206-66-5 CAPLUS
CN Carbamic acid, [5-[(phenylsulfonyl)oxy]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



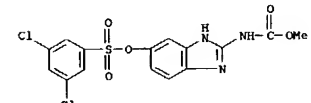
RN 59206-70-1 CAPLUS
CN Benzenesulfonic acid, 4-chloro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



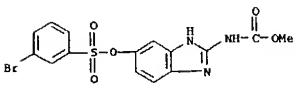
RN 59206-73-4 CAPLUS
CN Benzenesulfonic acid, 3-chloro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



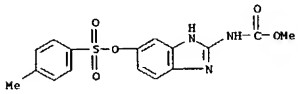
RN 59206-76-7 CAPLUS
CN Benzenesulfonic acid, 3,5-dichloro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



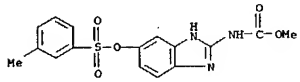
RN 59206-79-0 CAPLUS
CN Benzenesulfonic acid, 3-bromo-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



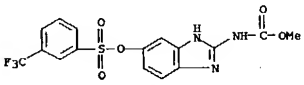
RN 59206-82-5 CAPLUS
CN Carbamic acid, [5-[[(4-methylphenyl)sulfonyl]oxy]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



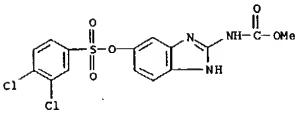
RN 59206-85-8 CAPLUS
CN Benzenesulfonic acid, 3-methyl-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



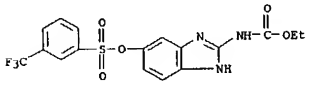
RN 59206-88-1 CAPLUS
CN Benzenesulfonic acid, 3-(trifluoromethyl)-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



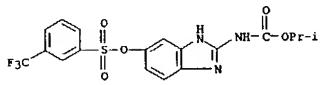
RN 62889-94-5 CAPLUS
CN Benzenesulfonic acid, 3,4-dichloro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



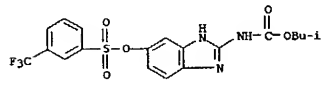
RN 62889-95-6 CAPLUS
CN Benzenesulfonic acid, 3-(trifluoromethyl)-, 2-[(ethoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



RN 62889-96-7 CAPLUS
CN Benzenesulfonic acid, 3-(trifluoromethyl)-, 2-[[(1-methylethoxy)carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



RN 62889-97-8 CAPLUS
CN Benzenesulfonic acid, 3-(trifluoromethyl)-, 2-[[(2-methylpropoxy)carbonyl]amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



ACCESSION NUMBER: 1976:180222 CAPLUS
DOCUMENT NUMBER: 84:180222
TITLE: Anthelmintic 2-carbalkoxyamino-5(6)-phenylsulfonyloxybenzimidazoles
INVENTOR(S): Loewe, Heinz; Urbanietz, Josef; Duewel, Dieter; Kirsch, Reinhard
PATENT ASSIGNEE(S): Hoechst A.-G., Fed. Rep. Ger.
SOURCE: Ger. Offen., 24 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2441201	A1	19760311	DE 1974-2441201	19740828
DE 2441201	C2	19860807		
CS 196278	P	19800331	CS 1975-5619	19750815
NL 7509957	A	19760302	NL 1975-9957	19750822
NL 187208	B	19910201		
NL 187208	C	19910701		
FR 2282881	A1	19760326	FR 1975-26015	19750822
FR 2282881	B1	19800430		
ES 440386	A1	19770601	ES 1975-440386	19750822
SE 7509442	A	19760301	SE 1975-9442	19750825
SE 417509	B	19810323		
SE 417509	C	19810709		
FI 7502397	A	19760229	FI 1975-2397	19750826
FI 60203	B	19810831		
FI 60203	C	19811210		
DD 124978	C	19770323	DD 1975-188034	19750826
GB 1472718	A	19770504	GB 1975-35218	19750826
IL 47997	A1	19781031	IL 1975-47997	19750826
CH 613195	A	19790914	CH 1975-11068	19750826
DK 7503848	A	19760229	DK 1975-3848	19750827
DK 136188	B	19770829		
NO 7502944	A	19760302	NO 1975-2944	19750827
NO 140591	C	19791003		
NO 140591	B	19790625		
ZA 7505486	A	19760728	ZA 1975-5486	19750827
SU 576044	D	19771005	SU 1975-2167451	19750827
AT 347935	B	19790125	AT 1975-6637	19750827
CA 1059135	A1	19790724	CA 1975-234272	19750827
BE 832859	A1	19760301	BE 1975-159560	19750828
JP 51048665	A2	19760426	JP 1975-103563	19750828
JP 59010350	B4	19840308		
CS 196279	P	19800331	CS 1978-6320	19780829
CS 196280	P	19800331	CS 1978-6321	19780829
CS 196281	P	19800331	CS 1978-6322	19780829

PRIORITY APPLN. INFO.: DE 1974-2441201 A 19740828
CS 1975-5619 19750815

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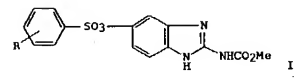
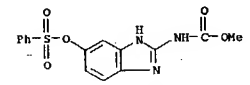


I

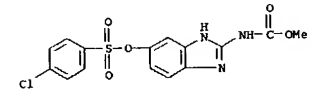
AB Phenylsulfonyloxybenzimidazole I (R = H, 4-Cl, 3-Cl, 3-Br, 4-Me, 3-Me, 3-CF3, 3,5-Cl2) were prepared by treating 3,4-O2N(H2N)C6H3OH with RC6H4SO2Cl, reducing 3,4-O2N(H2N)C6H3O3SC6H4R, and condensing 3,4-(H2N)2C6H3O3SC6H4R with HN:C(SMe)NHCO2Me, prepared by treating HN:C(SMe)NH2 with ClCO2Me.

IT **59206-66-5P 59206-70-1P 59206-73-4P 59206-76-7P 59206-79-0P 59206-82-5P 59206-85-8P 59206-88-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

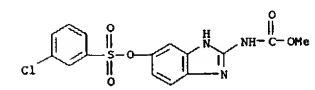
RN 59206-66-5 CAPLUS
CN Carbamic acid, [5-[(phenylsulfonyl)oxy]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)



RN 59206-70-1 CAPLUS
CN Benzenesulfonic acid, 4-chloro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

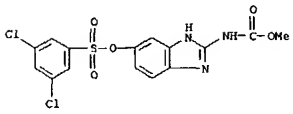


RN 59206-73-4 CAPLUS
CN Benzenesulfonic acid, 3-chloro-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

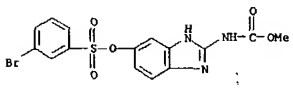


RN 59206-76-7 CAPLUS
CN Benzenesulfonic acid, 3,5-dichloro-, 2-[(methoxycarbonyl)amino]-1H-

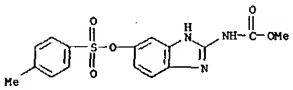
L4 ANSWER 51 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



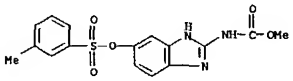
RN 59206-79-0 CAPLUS
CN Benzenesulfonic acid, 3-bromo-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



RN 59206-82-5 CAPLUS
CN Carbamic acid, [5-[[(4-methylphenyl)sulfonyl]oxy]-1H-benzimidazol-2-yl]-, methyl ester (9CI) (CA INDEX NAME)

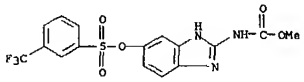


RN 59206-85-8 CAPLUS
CN Benzenesulfonic acid, 3-methyl-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)



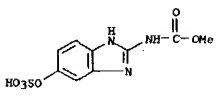
RN 59206-88-1 CAPLUS
CN Benzenesulfonic acid, 3-(trifluoromethyl)-, 2-[(methoxycarbonyl)amino]-1H-benzimidazol-5-yl ester (9CI) (CA INDEX NAME)

L4 ANSWER 51 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

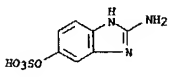


L4 ANSWER 52 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1975:107204 CAPLUS
DOCUMENT NUMBER: 82:107204
TITLE: Metabolism of thioureidobenzene fungicides in mice and sheep
AUTHOR(S): Douch, P. G. C.
CORPORATE SOURCE: Wallaceville Anim. Res. Cent., Minist. Agric. Fish., Upper Hutt, N. Z.
SOURCE: Xenobiotica (1974), 4(8), 457-75
CODEN: XENOBH; ISSN: 0049-8254
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB *Mouse tissue and sheep liver* enzyme prepns. metabolized thiophanate (I) [23564-06-9], thiophanate methyl (II) [23564-05-8] and related thioureidobenzene compds. to the benzimidazole derivs. and their 5(6)-hydroxylation products by a mixed function oxidase [9040-60-2] system. The in vitro metabolism to benzimidazole compds. required NADPH [53-57-6], and was inhibited by SKF 525A [62-68-0] and CO [630-08-0]. I and II (0.1 g/kg, orally) were eliminated in vivo partly as Me benzimidazol-2-ylcarbamate [10605-21-7] or Et benzimidazol-2-ylcarbamate [6306-71-4] and their hydroxylated derivs. The hydroxylated metabolites were excreted as glucuronide and sulfate conjugates, and 9-14% of the benzimidazole derivs. were eliminated as conjugates.
IT **51276-89-2 51276-90-5 54685-68-6**
RL: FORM (Formation, nonpreparative)
(formation of, by thioureidobenzene fungicides, by sheep and mouse liver)
RN 51276-89-2 CAPLUS
CN Carbamic acid, [5-(sulfooxy)-1H-benzimidazol-2-yl]-, C-methyl ester (9CI) (CA INDEX NAME)

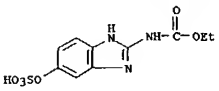


RN 51276-90-5 CAPLUS
CN 1H-Benzimidazol-5-ol, 2-amino-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)



RN 54685-68-6 CAPLUS
CN Carbamic acid, [5-(sulfooxy)-1H-benzimidazol-2-yl]-, C-ethyl ester (9CI) (CA INDEX NAME)

L4 ANSWER 52 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

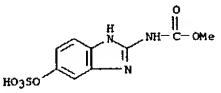


L4 ANSWER 53 OF 53 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 1974:610 CAPLUS
DOCUMENT NUMBER: 80:610
TITLE: Metabolism of benomyl fungicide in mammals
AUTHOR(S): Douch, P. G. C.
CORPORATE SOURCE: Wallaceville Anim. Res. Cent., Minis. Agric. Fish., Upper Hutt, N. Z.
SOURCE: Xenobiotica (1973), 3(6), 367-80
CODEN: XENOBH; ISSN: 0049-8254
DOCUMENT TYPE: Journal
LANGUAGE: English

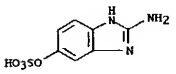
AB Mice, rabbits, and sheep, administered with benomyl (I) [17804-35-2] produced similar patterns of metabolites to those formed by tissue prepns. incubated with I. In all 3 species, 2 metabolites were formed by hydroxylation, and 2 by ester hydrolysis. The hydroxylated metabolites were excreted from all species as the sulfate and glucuronide conjugates. Conjugates with acetic acid were not detected. Approx. 20% of the dose given to each species was eliminated as conjugates of hydroxylated metabolites. Formation of hydroxylated metabolites was inhibited by β-diethylaminoethyl diphenylpropylacetate in vitro. In liver enzyme prepns. from all 3 species, 2-aminobenzimidazole [934-32-7] was hydroxylated to give 5-hydroxy-2-aminobenzimidazole.

IT **51276-89-2 51276-90-5**
RL: FORM (Formation, nonpreparative)
(formation of, as benomyl metabolite)

RN 51276-89-2 CAPLUS
CN Carbamic acid, [5-(sulfooxy)-1H-benzimidazol-2-yl]-, C-methyl ester (9CI) (CA INDEX NAME)



RN 51276-90-5 CAPLUS
CN 1H-Benzimidazol-5-ol, 2-amino-, hydrogen sulfate (ester) (9CI) (CA INDEX NAME)



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LOGOFF? (Y)/N/HOLD:y
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	252.72	408.35

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-37.10	-37.10

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